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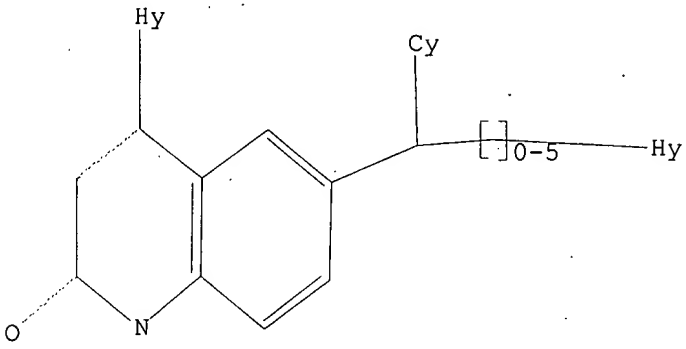


Structure attributes must be viewed using STN Express query preparation.

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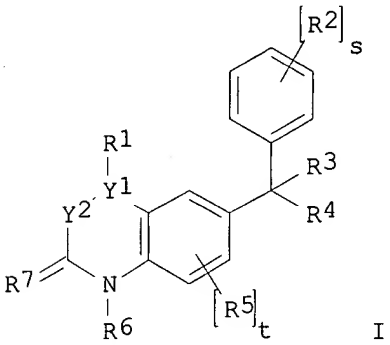
L4 ANSWER 1 OF 4 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:63253 CA
TITLE: Preparation of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet, Virginie Sophie
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

WO 2002051834 A1 20020704 WO 2001-EP15232 20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: EP 2000-204716 A 20001227
OTHER SOURCE(S): MARPAT 137:63253
GI



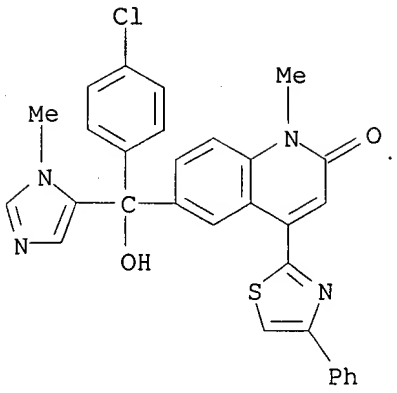
I

AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9,CHCHR9 (wherein R9 = H, halo, CN, etc,); R1 = ZHet (Z = a bond, O, S, etc.; Het = (un)substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6 and R7 together from N:NN, CONHN, etc.] having farnesyl transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-yl; R2 = 4-Cl; R3 = H; R4 = 1H-imidazol-1-yl; R6 = H; R7 = O] was given.

IT **439868-20-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

RN 439868-20-9 CA

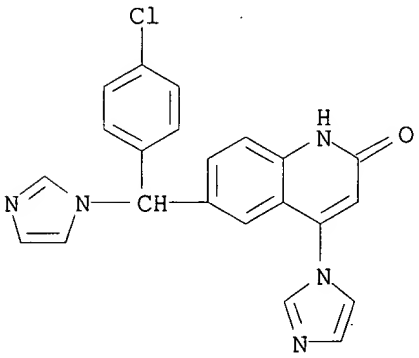
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



IT **439868-17-4P 439868-18-5P 439868-19-6P**
**439868-21-0P 439868-22-1P 439868-23-2P**
**439868-24-3P 439868-25-4P 439868-26-5P**
**439868-27-6P 439868-28-7P 439868-34-5P**
**439868-35-6P 439868-36-7P 439868-37-8P**
**439868-38-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

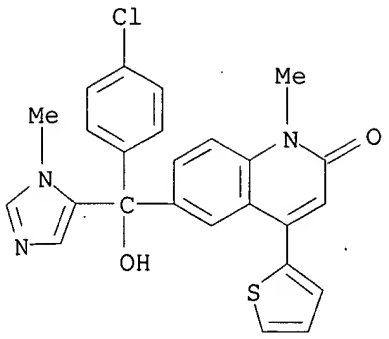
RN 439868-17-4 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-4-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

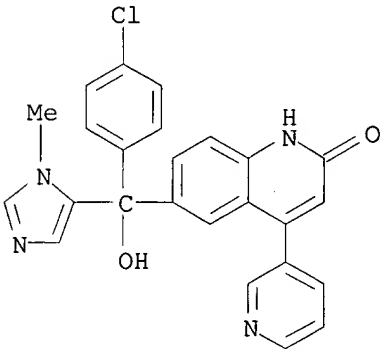


RN 439868-18-5 CA

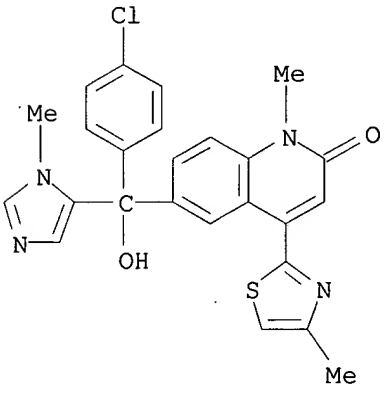
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 439868-19-6 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 439868-21-0 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 439868-22-1 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-methyl-2-thiazolyl)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

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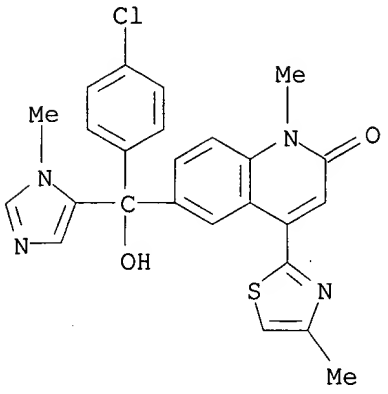
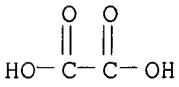
CRN 439868-21-0
CMF C25 H21 Cl N4 O2 S

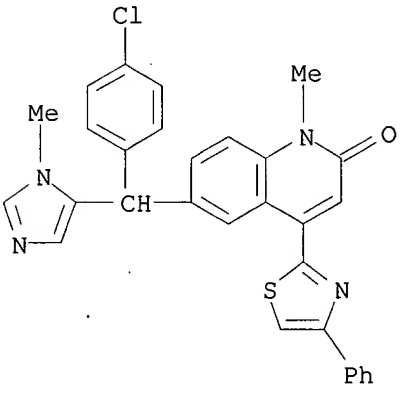


CM 2

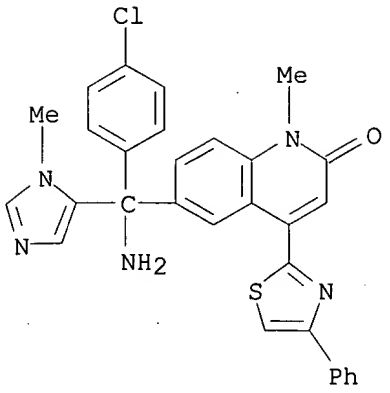
CRN 144-62-7
CMF C2 H2 O4



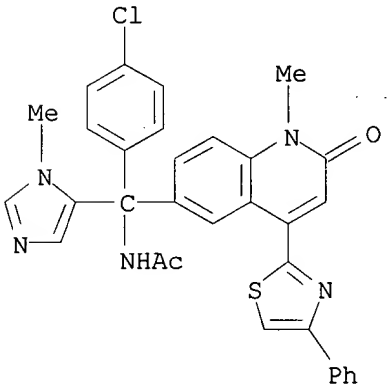
RN 439868-23-2 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



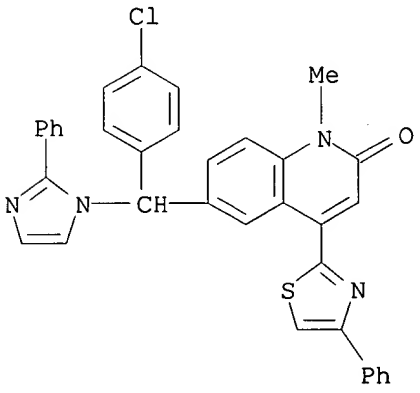
RN 439868-24-3 CA
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 439868-25-4 CA
CN Acetamide, N-[(4-chlorophenyl)[1,2-dihydro-1-methyl-2-oxo-4-(4-phenyl-2-thiazolyl)-6-quinolinyl](1-methyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

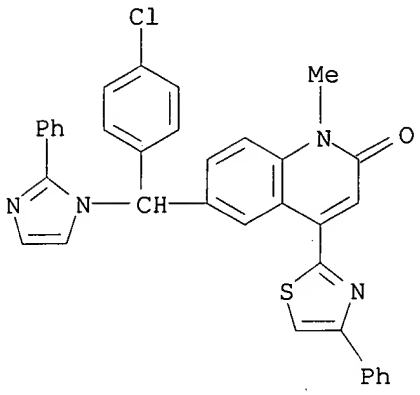


RN 439868-26-5 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



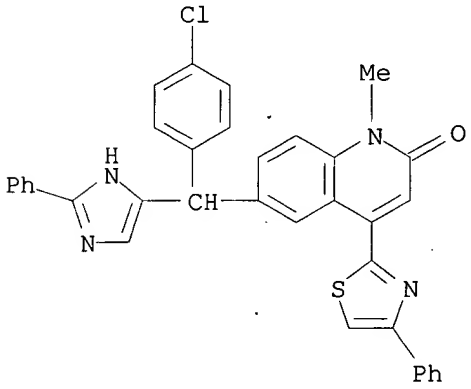
RN 439868-27-6 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-

methyl-4-(4-phenyl-2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)

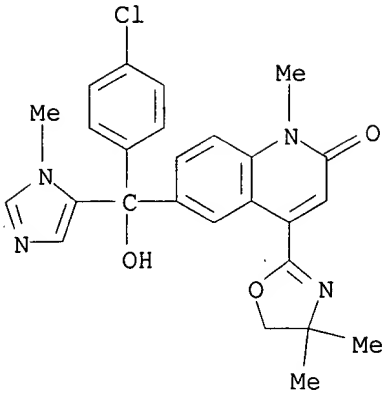


● HCl

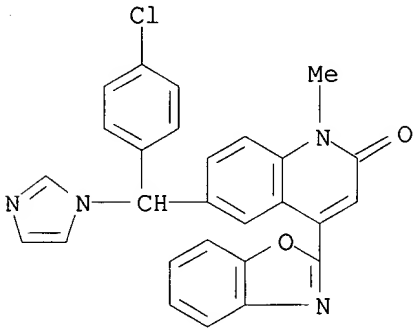
RN 439868-28-7 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-4-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



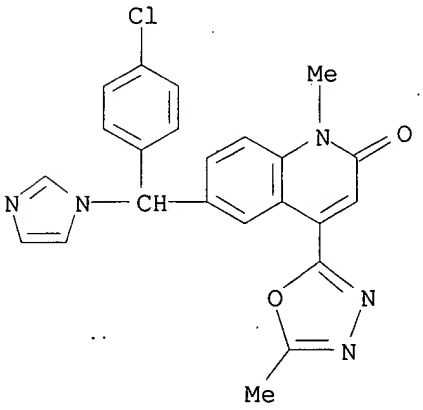
RN 439868-34-5 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-1-methyl- (9CI) (CA INDEX NAME)



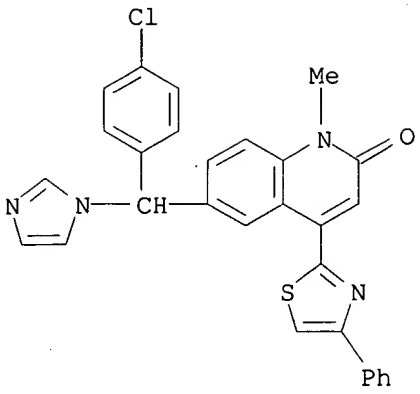
RN 439868-35-6 CA
CN 2(1H)-Quinolinone, 4-(2-benzoxazolyl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)



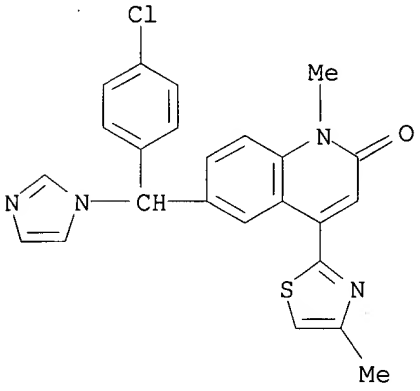
RN 439868-36-7 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 439868-37-8 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

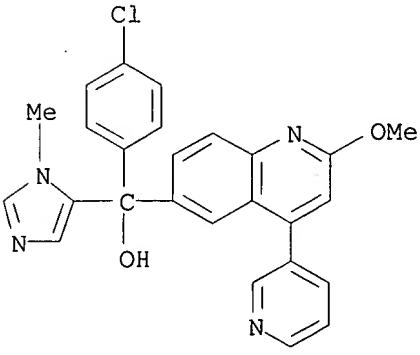


RN 439868-38-9 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

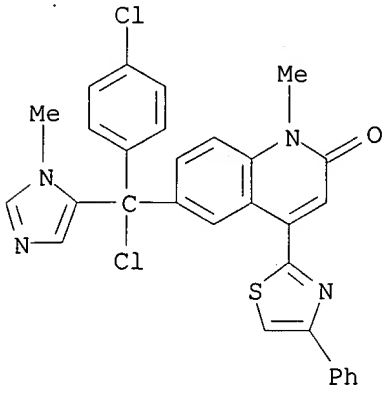


IT **439868-55-0P 439868-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

RN 439868-55-0 CA
CN 6-Quinolinemethanol, .alpha.-(4-chlorophenyl)-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 439868-75-4 CA
CN 2(1H)-Quinolinone, 6-[chloro(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 130:52420 CA
TITLE: (Imidazol-5-yl)methyl-2-quinolinone derivatives as inhibitors of smooth muscle cell proliferation
INVENTOR(S): End, David William; Zelesko, Michael J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9855124 | A1 | 19981210 | WO 1998-EP3182 | 19980525 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9880207 | A1 | 19981221 | AU 1998-80207 | 19980525 |
| AU 740603 | B2 | 20011108 | | |
| EP 988038 | A1 | 20000329 | EP 1998-928332 | 19980525 |
| EP 988038 | B1 | 20020814 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| BR 9810423 | A | 20001003 | BR 1998-10423 | 19980525 |
| JP 2002503235 | T2 | 20020129 | JP 1999-501440 | 19980525 |
| NZ 501401 | A | 20020328 | NZ 1998-501401 | 19980525 |
| AT 222104 | E | 20020815 | AT 1998-928332 | 19980525 |
| ES 2182327 | T3 | 20030301 | ES 1998-928332 | 19980525 |
| ZA 9804700 | A | 19991201 | ZA 1998-4700 | 19980601 |
| US 6365600 | B1 | 20020402 | US 1999-445009 | 19991130 |
| NO 9905883 | A | 20000202 | NO 1999-5883 | 19991201 |

US 2002091138 A1 20020711 US 2001-996147 20011128
PRIORITY APPLN. INFO.: US 1997-47376P P 19970602
WO 1998-EP3182 W 19980525
US 1999-445009 A3 19991130
OTHER SOURCE(S): MARPAT 130:52420
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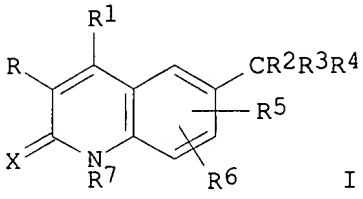

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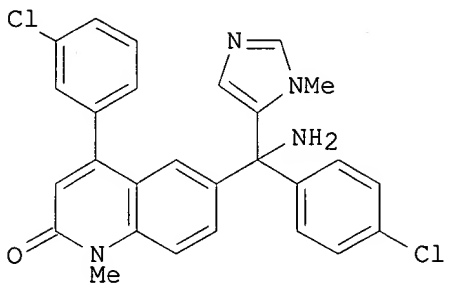
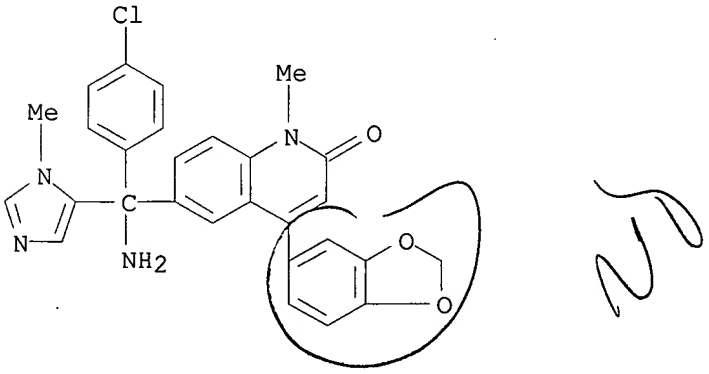


II

AB Title compds. I and their 3,4-dihydro derivs. [X = O, S; R = H, halogen, CN, alkyl, alkoxycarbonyl, (un)substituted Ph; R1, R2 = (un)substituted Ph; R3 = (un)substituted 4-imidazolyl; R4 = H, (un)substituted alkyl, CN, (un)substituted CO2H, imidazolyl, (un)substituted OH, SH, NH2; R5 = H, alkyl, alkoxy, halogen; R6 = H, alkyl; R7 = H, alkyl, aryl, aralkyl, quinolinylalkyl] were prepd. for use in inhibiting smooth muscle cell proliferation, e.g., in atherosclerosis or restenosis. Thus, the title compd. II was prepd. from 1-(N,N-dimethylsulfamoyl)imidazole and the chlorobenzoylquinolinone in 5 steps. II had IC50 for inhibition of cell proliferation: A10 14, PASCM 24, CASCM 16 nM.

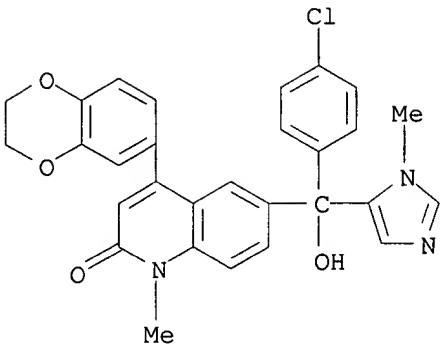
IT **192187-43-2P 192187-44-3P 192187-45-4P**
**192187-46-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (imidazol-5-yl)methyl-2-quinolinone derivs. as inhibitors of smooth muscle cell proliferation)

RN 192187-43-2 CA
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(1,3-benzodioxol-5-yl)-1-methyl- (9CI) (CA INDEX NAME)

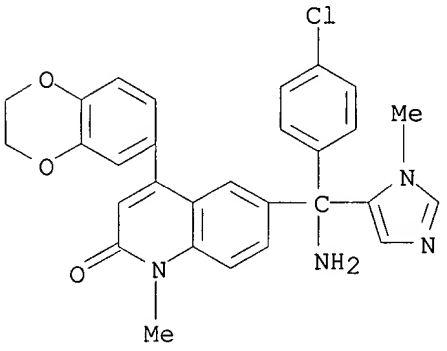


RN 192187-44-3 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)

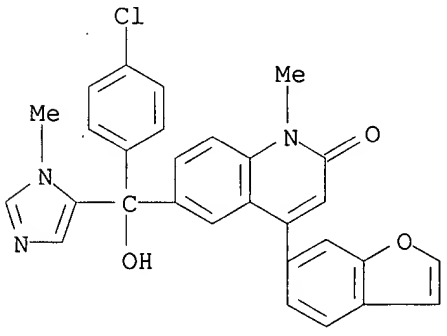
102
1,3,5.9,10,11



RN 192187-45-4 CA
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-46-5 CA
CN 2(1H)-Quinolinone, 4-(6-benzofuranyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

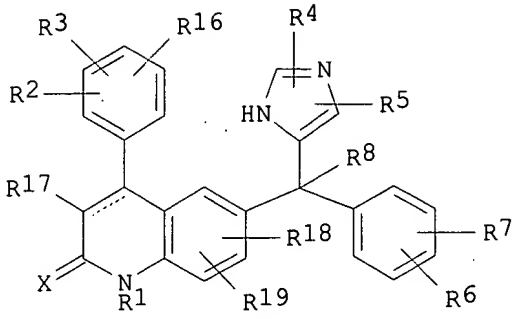
L4 ANSWER 3 OF 4 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:95280 CA
TITLE: Preparation of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents
INVENTOR(S): Venet, Marc Gaston; Angibaud, Patrick Rene; Muller, Philippe; Sanz, Gerard Charles

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9721701 | A1 | 19970619 | WO 1996-EP4515 | 19961016 |
| W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, NO, NZ, PL, RO, SK, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM | | | | |
| AU 9672948 | A1 | 19970703 | AU 1996-72948 | 19961016 |
| AU 711142 | B2 | 19991007 | | |
| EP 865440 | A1 | 19980923 | EP 1996-934727 | 19961016 |
| EP 865440 | B1 | 20020403 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 10511405 | T2 | 19981104 | JP 1996-521638 | 19961016 |
| CN 1203598 | A | 19981230 | CN 1996-198750 | 19961016 |
| CN 1101392 | B | 20030212 | | |
| BR 9610745 | A | 19990713 | BR 1996-10745 | 19961016 |
| IL 123568 | A1 | 20010808 | IL 1996-123568 | 19961016 |
| EE 3484 | B1 | 20010815 | EE 1998-146 | 19961016 |
| EP 1162201 | A2 | 20011212 | EP 2001-202750 | 19961016 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 3257559 | B2 | 20020218 | JP 1997-521638 | 19961016 |
| AT 215541 | E | 20020415 | AT 1996-934727 | 19961016 |
| PL 184171 | B1 | 20020930 | PL 1996-325962 | 19961016 |
| AP 1108 | A | 20021002 | AP 1998-1257 | 19961016 |
| W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| ES 2175137 | T3 | 20021116 | ES 1996-934727 | 19961016 |
| TW 494101 | B | 20020711 | TW 1996-85114832 | 19961130 |
| ZA 9610254 | A | 19980605 | ZA 1996-10254 | 19961205 |
| HR 960576 | B1 | 20020430 | HR 1996-960576 | 19961205 |
| NO 9800927 | A | 19980608 | NO 1998-927 | 19980304 |
| US 6037350 | A | 20000314 | US 1998-84717 | 19980526 |
| HK 1012188 | A1 | 20020726 | HK 1998-113364 | 19981215 |
| US 6169096 | B1 | 20010102 | US 1999-363353 | 19990729 |
| US 6420387 | B1 | 20020716 | US 2000-689211 | 20001012 |

PRIORITY APPLN. INFO.:
EP 1995-203427 A 19951208
EP 1996-934727 A3 19961016
WO 1996-EP4515 W 19961016
US 1997-84717 A1 19970526
US 1999-363353 A1 19990729

OTHER SOURCE(S): MARPAT 127:95280
GI

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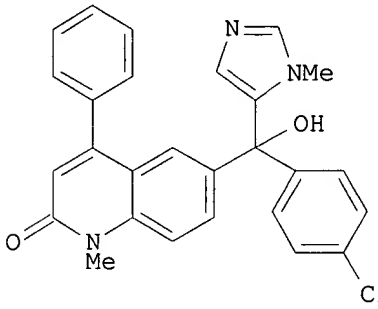


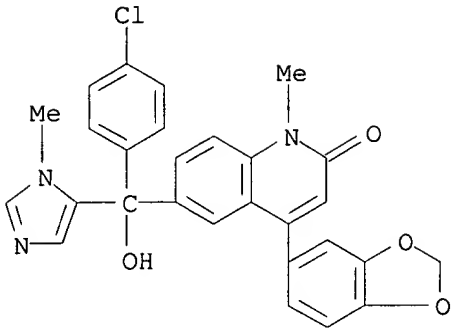

II

AB The title compds. [I; the dotted line represents an optional bond; X = O, S; R1 = H, (un)substituted alkyl, (un)substituted aryl, heterocyclylalkyl, etc.; R2, R3, R16 = H, hydroxy, halogen, cyano, alkyl, alkyloxy, hydroxyalkyloxy, etc.; R4, R5 = H, halogen, (un)substituted aryl, (un)substituted alkyl, NH2, etc.; R6, R7 = H, halogen, cyano, alkyl, 4,4-dimethyloxazolyl, etc.; R8 = H, alkyl, cyano, hydroxycarbonyl, alkyloxycarbonyl, etc.; R17 = H, halogen, cyano, alkyl, alkyloxycarbonyl, (un)substituted aryl; R18 = H, alkyl, alkyloxy, halogen; R19 = H, alkyl; etc.], which have farnesyl transferase-inhibiting activity, useful for the treatment of cancers, are prepd. and I-contg. formulations presented. Thus, imidazole deriv. II (m.p. >250.degree.) was prepd. and demonstrated a IC50 against human farnesyl protein transferase of 6.0 nM.

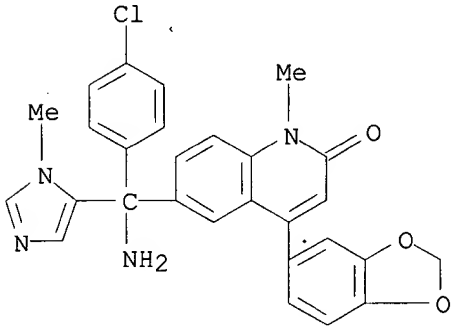
IT **192187-42-1P 192187-43-2P 192187-44-3P**
**192187-45-4P 192187-46-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents)

RN 192187-42-1 CA

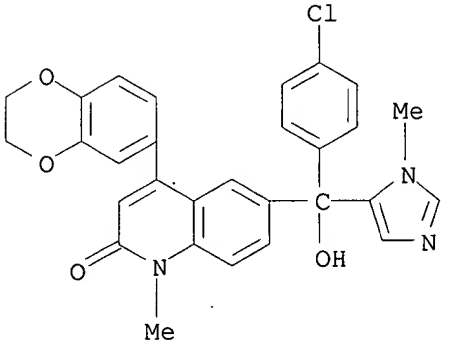
CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)



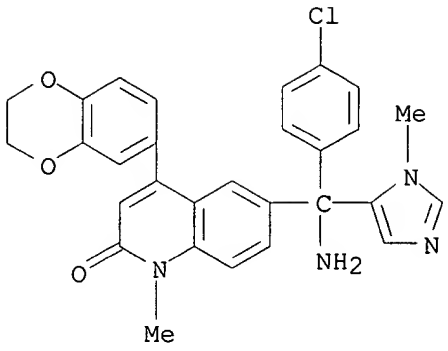
RN 192187-43-2 CA
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(1,3-benzodioxol-5-yl)-1-methyl- (9CI) (CA INDEX NAME)



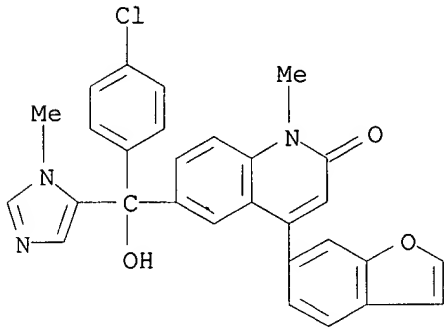
RN 192187-44-3 CA
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-45-4 CA
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-46-5 CA
CN 2(1H)-Quinolinone, 4-(6-benzofuranyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 4 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:34143 CA
TITLE: Farnesyl transferase inhibiting 2-quinolone derivatives
INVENTOR(S): End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9716443 | A1 | 19970509 | WO 1996-EP4661 | 19961025 |

W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

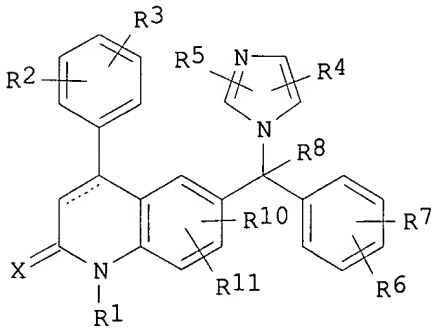
| | | | | |
|---|---|---|---|---|
| AU 9674933 | A1 | 19970522 | AU 1996-74933 | 19961025 |
| AU 712435 | B2 | 19991104 | | |
| CN 1200732 | A | 19981202 | CN 1996-197917 | 19961025 |
| CN 1101391 | B | 20030212 | | |
| JP 11514635 | T2 | 19991214 | JP 1996-517051 | 19961025 |
| EP 1019395 | A1 | 20000719 | EP 1996-937249 | 19961025 |
| EP 1019395 | B1 | 20020130 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| EP 1106610 | A1 | 20010613 | EP 2001-200450 | 19961025 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| AT 212627 | E | 20020215 | AT 1996-937249 | 19961025 |
| ES 2171736 | T3 | 20020916 | ES 1996-937249 | 19961025 |
| PL 184168 | B1 | 20020930 | PL 1996-328230 | 19961025 |
| SK 282642 | B6 | 20021008 | SK 1998-556 | 19961025 |
| CZ 290954 | B6 | 20021113 | CZ 1998-1272 | 19961025 |
| ZA 9609087 | A | 19980429 | ZA 1996-9087 | 19961029 |
| NO 9800928 | A | 19980429 | NO 1998-928 | 19980304 |
| US 5968952 | A | 19991019 | US 1998-66441 | 19980429 |
| HK 1027576 | A1 | 20020524 | HK 2000-106863 | 20001027 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| EP 1995-202945 | A | 19951031 |
| EP 1996-937249 | A3 | 19961025 |
| WO 1996-EP4661 | W | 19961025 |

OTHER SOURCE(S): MARPAT 127:34143

GI

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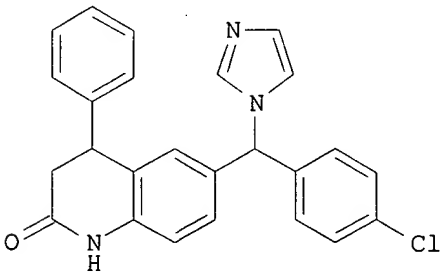



II

AB The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl

protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

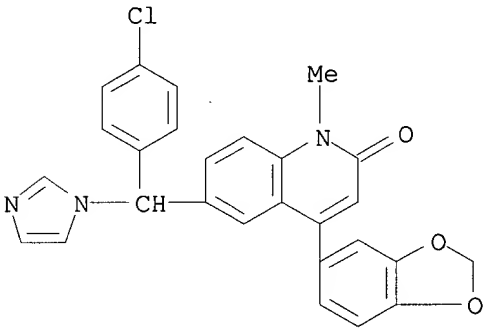
IT **190898-46-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolone derivs. as farnesyl transferase inhibitors)

RN 190898-46-5 CA

CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

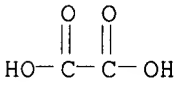
CM 1

CRN 190898-45-4
CMF C27 H20 Cl N3 O3




CM 2

CRN 144-62-7
CMF C2 H2 O4




=> file marpat

=> s l1 full
L5 33 SEA SSS FUL L1

=> d ibib abs fqhit 1-33

L5 ANSWER 1 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 139:117438 MARPAT
TITLE: Preparation of N-(benzo[5,6]cyclohepta[1,2-b]pyridin-

11-yl)piperazine and -piperidine derivatives and related compounds and treatment of Trypanosoma brucei with farnesyl protein transferase (FPTase) inhibitors

INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Strickland, Corey; Syto, Rosalinda; Girijavallabhan, Viyyoor M.; Kaminski, James J.; Guo, Zhuyan

PATENT ASSIGNEE(S): Schering Corporation, USA

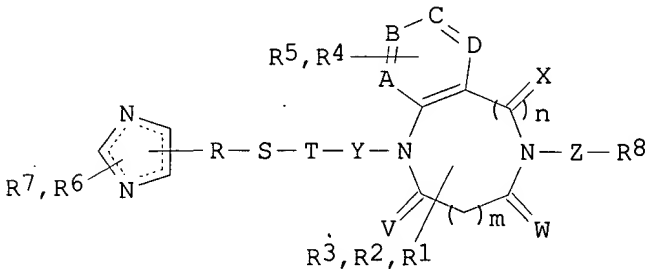
SOURCE: U.S. Pat. Appl. Publ., 48 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2003134846 | A1 | 20030717 | US 2002-266036 | 20021007 |

PRIORITY APPLN. INFO.: US 2001-327934P 20011009

GI

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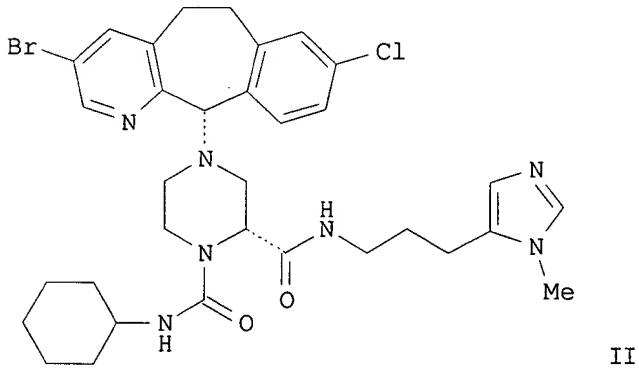
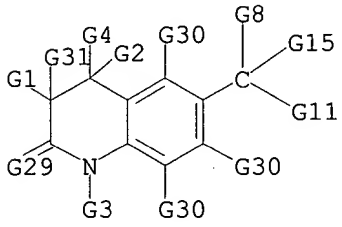



II

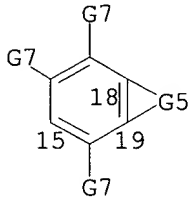
AB Disclosed is a method of treating and or preventing infections of Trypanosoma brucei, a parasite from tsetse fly causing sleeping sickness, by administering to a patient, in need of such treatment, an effective amt. of a farnesyl protein transferase inhibitor alone or in combination with an addnl. anti- Trypanosoma brucei agent and/or an anti-Trypanosoma brucei resistance reversing agent. The farnesyl protein transferase inhibitors are represented by general formulas, e.g. (I) [wherein R1-R3 = H, alkoxycarbonyl, each (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclyl, or CONH2, cycloalkyl, cyano; or any of two R1-R3 form a cycloalkyl group; R4, R5 = H, halo, NO2, cyano, etc.; R6-R8 = H, lower alkyl, substituted alkyl, (un)substituted aryl; R, S,T = CH2, CO,

CH(CH2)pQ; wherein Q = (un)substituted NH2 or OH, cyano; p = 0,1,2; V, W, X = O, H; Y, Z = each mono-(un)substituted CH2, NH, SO2NH, CONH2; m,n = 0,1; A, B,C, D = C, O, S, N; provisos are given]. 21 Specific farnesyl protein transferase inhibitors, e.g. N-(6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine deriv. (II), are claimed. The compds. of the invention had an IC50 range of between 0.0019 .mu.M to 15 .mu.M in Trypanosoma brucei FPTase SPA assay, and an ED50 range of between 0.2 .mu.M to <10 .mu.M in T. brucei cell-based assay.

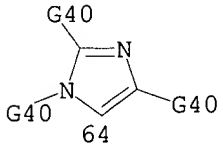
**MSTR 2**



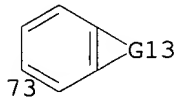

G4 = 15




G5 = OCH2O
G8 = 64




G11 = 73




G13 = OCH2O
G29 = O
MPL: claim 3
NTE: or pharmaceutically acceptable salts or solvates
NTE: substitution is restricted

L5 ANSWER 2 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 138:56082 MARPAT

TITLE: Preparation of phosphorus-substituted quinolines as therapeutic agents
INVENTOR(S): Wang, Yihan; Metcalf, Chester A., III; Shakespeare, William C.; Sawyer, Tomi K.; Bohacek, Regine
PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

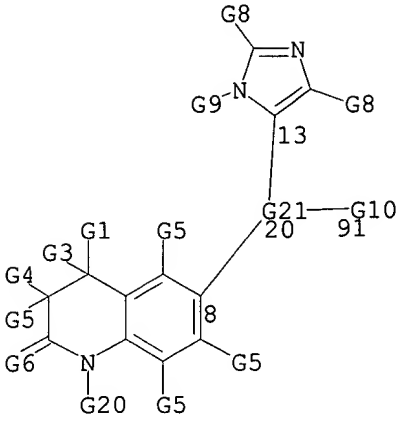
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003000705 | A1 | 20030103 | WO 2002-US19672 | 20020621 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003105065 | A1 | 20030605 | US 2002-177990 | 20020621 |

PRIORITY APPLN. INFO.: US 2001-299918P 20010621
GI

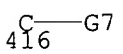
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phosphorus-substituted quinolines [e.g, I; wherein X = O, S, amino; R1 = H, O, aliph., heteroaliph., aryl, heteroaryl; R2 = aliph., heteroaliph., aryl, heteroaryl; R3, R4, R6, R7, independently = H, aliph., heteroaliph., aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; R5 = aryl, heteroaryl; R8 = H, aliph., heteroaliph.; AK = (CR9CR10) (wherein R9, R10, independently = H, aliph.); p = 0, 1, 2, 3; q = 0, 1, 2, 3, 4, 5; r = 0, 1, 2; at least one of R2 or R5 is a phosphorus-contg. moiety] were prepd. Compd. (II) is exemplary. The prepd. compds. are useful as, inter alia, anticancer agents, antiproliferative agents, and agents for the treatment of osteoporosis (no data).

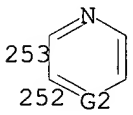
**MSTR 1**




G2 = N
G6 = O
G17 = phenylene
G21 = 416




G41 = 253-247 252-246




MPL: claim 1
NTE: additional substitution also claimed
NTE: substitution is restricted
NTE: and pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:320343 MARPAT
TITLE: Farnesyl protein transferase inhibitors for treating cachexia
INVENTOR(S): End, David William
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002085364 | A1 | 20021031 | WO 2002-EP4292 | 20020417 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

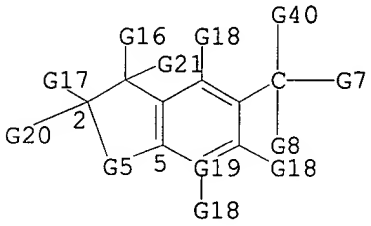
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

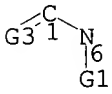
PRIORITY APPLN. INFO.: US 2001-286390P 20010425

AB The invention discloses the use of farnesyl protein transferase inhibitors for the manuf. of a medicament for the treatment of cachexia.

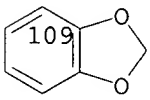
**MSTR 1**



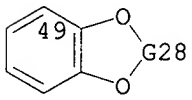

G3 = O
G5 = 1-2 6-5



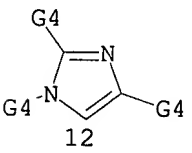

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12



MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

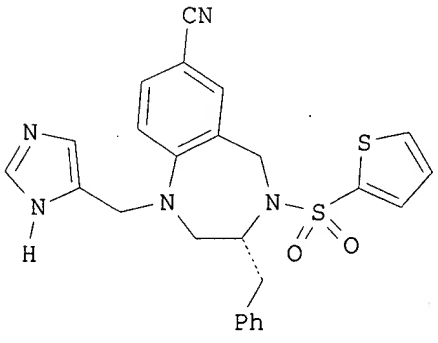
L5 ANSWER 4 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:304744 MARPAT
TITLE: Treatment of malaria with farnesyl protein transferase inhibitors
INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Strickland, Corey O.; Girijavallabhan, Viyyoor M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002080895 | A2 | 20021017 | WO 2002-US10698 | 20020404 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-282092P 20010406
US 2001-283107P 20010411

GI

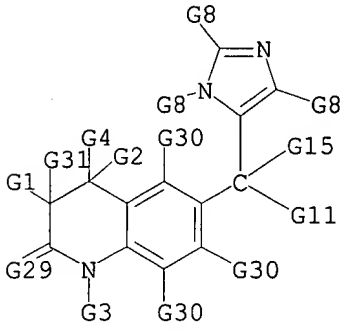



I

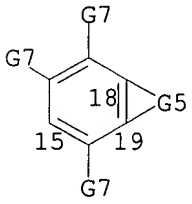
AB Disclosed is a method of treating Malaria comprising administering to a patient in need of such treatment an effective amt. of at least one farnesyl protein transferase (FPT) inhibitor alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are pharmaceutical compns. comprising at least

one FPT inhibitor, in combination with at least one addnl. antimalaria agent and/or at least one addnl. agent for reversing antimalarial resistance, and a pharmaceutically acceptable carrier. Synthetic methods to prep. 15 of 26 claimed FPT inhibitors are provided. The claimed FPT inhibitors possessed ED50 values (.mu.M) of 0.05-5 in in vitro plasmodium falciparum growth inhibition assays. Specifically, I demonstrated an ED50 range of 0.05-0.2 in the assay.

**MSTR 2**




G4 = 15




G5 = OCH2O
G11 = Ph (SO (1-2) G12)
G29 = O
MPL: claim 2
NTE: and pharmaceutically acceptable salts and solvates
NTE: substitution is restricted

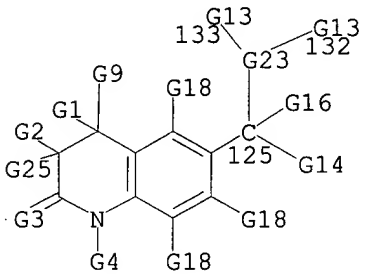
L5 ANSWER 5 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:299915 MARPAT
TITLE: Farnesyl transferase inhibitors in combination with HMG CoA reductase inhibitors for the inhibition for the treatment of cancer
INVENTOR(S): Kajiji, Shama M.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

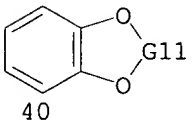
WO 2002078706 A1 20021010 WO 2002-US9751 20020329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002151563 A1 20021017 US 2002-103251 20020321
PRIORITY APPLN. INFO.: US 2001-279965P 20010329

AB This invention relates to pharmaceutical compns. for the treatment of abnormal cell growth, such as cancer or benign hyperproliferative disorder, in a mammal, which comprises a therapeutically effective amt. of farnesyl transferase (Ftase) inhibitor in combination with an hydroxymethylglutaryl CoA (HMG CoA) reductase inhibitor and a pharmaceutically acceptable carrier.

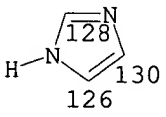
**MSTR 2**




G3 = O
G9 = 40




G11 = (1-2) CH2
G14 = Ph (SO (-2) G15)
G23 = 126-125 128-133 130-132




MPL: claim 1
NTE: and pharmaceutically acceptable salts, prodrugs and solvates

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:163794 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with antiestrogen agents
INVENTOR(S): End, David William
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

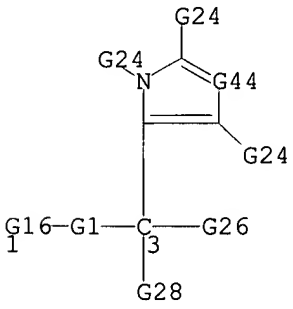
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002064142 | A1 | 20020822 | WO 2002-EP1248 | 20020206 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

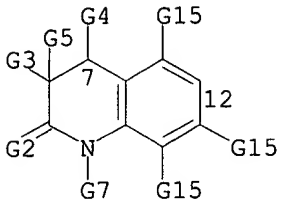
PRIORITY APPLN. INFO.: US 2001-268839P 20010215

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antiestrogen agent for inhibiting the growth of tumor cells, useful in the treatment of cancer. An antiestrogen agent is, e.g., tamoxifen, raloxifene, toremifene, or an aromatase inhibitor. For example, the combination of 100 mg/kg of farnesyl protein transferase inhibitor R115777 and 1 mg/kg of tamoxifen unexpectedly increased cytotoxic tumor regression in mice bearing MCF-7 human breast tumor xenografts, in comparison to the cytotoxic effect of the individual components of the combination.

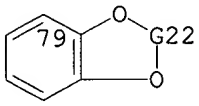
**MSTR 1**




G1 = 7-1 12-3




G2 = O
G16 = 79




G22 = (1-2) CH2
G26 = Ph (SO (1-2) G27)
G44 = N
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed
STE: and stereochemically isomeric forms

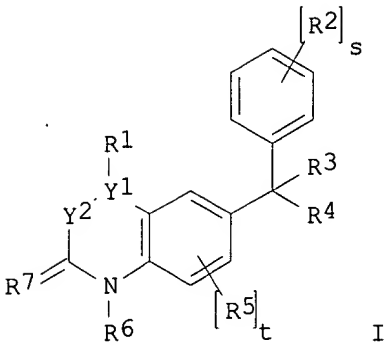
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:63253 MARPAT
TITLE: Preparation of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet, Virginie Sophie
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002051834 | A1 | 20020704 | WO 2001-EP15232 | 20011221 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

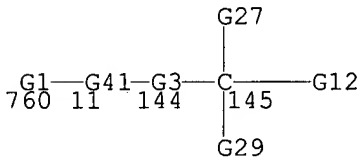
PRIORITY APPLN. INFO.: EP 2000-204716 20001227
GI



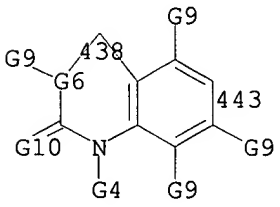
I

AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9,CHCHR9 (wherein R9 = H, halo, CN, etc,); R1 = ZHet (Z = a bond, O, S, etc.; Het = (un)substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6 and R7 together from N:NN, CONHN, etc.] having farnesyl transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-yl; R2 = 4-Cl; R3 = H; R4 = 1H-imidazol-1-yl; R6 = H; R7 = O] was given.

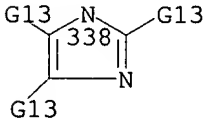
**MSTR 1**



G1 = thienyl (SO G56)
G3 = 438-11 443-145



G6 = CH
G10 = O
G12 = 338



G27 = Ph (SO (1-) G28)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or N-oxides
NTE: also incorporates claim 8
NTE: additional substitution also claimed
NTE: substitution is restricted
STE: or stereochemically isomeric forms

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

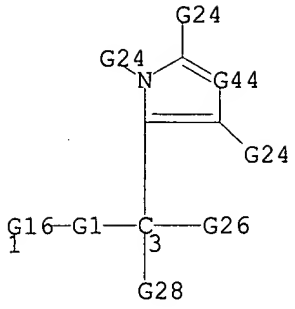
L5 ANSWER 8 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:718 MARPAT
TITLE: Farnesyl protein transferase inhibitors for the treatment of inflammatory bowel disease
INVENTOR(S): End, David William; Bowden, Charles Ronald
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002043733 | A1 | 20020606 | WO 2001-EP13540 | 20011120 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002018311 | A5 | 20020611 | AU 2002-18311 | 20011120 |
| EP 1339407 | A1 | 20030903 | EP 2001-998349 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

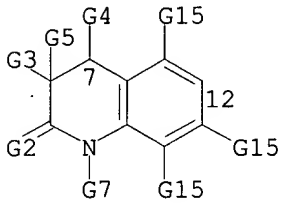
PRIORITY APPLN. INFO.: US 2000-253346P 20001128
WO 2001-EP13540 20011120

AB The invention discloses the use of certain farnesyl protein transferase inhibitors for the manuf. of a medicament for the treatment of inflammatory bowel disease. Compds. of the invention include e.g. (+)-6-[amino-(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)quinolinone.

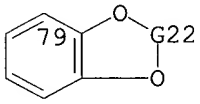
**MSTR 1**




G1 = 7-1 12-3




G2 = O
G16 = 79



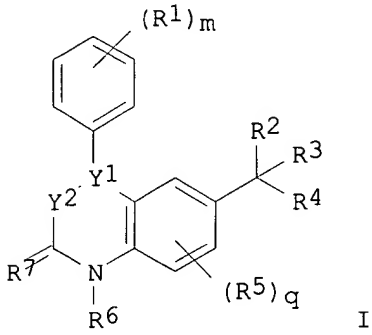

G22 = (1-2) CH2
G26 = Ph (SO (1-2) G27)
G44 = N
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
NTE: additional substitution also claimed
STE: and stereochemically isomeric forms

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:279472 MARPAT
TITLE: Preparation of 6-heterocyclylmethyl quinolinone derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002024687 | A1 | 20020328 | WO 2001-EP10975 | 20010918 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001093835 | A5 | 20020402 | AU 2001-93835 | 20010918 |
| EP 1322644 | A1 | 20030702 | EP 2001-974284 | 20010918 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRIORITY APPLN. INFO.: | | | EP 2000-203368 | 20000925 |
| | | | EP 2001-202189 | 20010607 |
| | | | WO 2001-EP10975 | 20010918 |

GI

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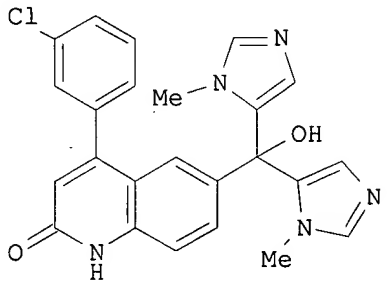
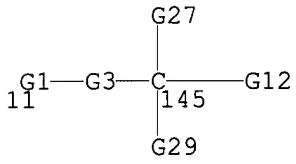



II

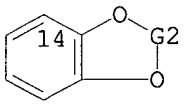
AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:CR9 or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, cyclization of N-[4-bromo-2-(3-chlorobenzoyl)phenyl]acetamide (3-step prepn. given) using t-BuOH.bul.K in

DME afforded 6-bromo-4-(3-chlorophenyl)-2(1H)-quinoline (80.76%), which was then methoxylated (86%). Addn. of bis(1-methyl-1H-imidazol-5-yl)methanone in the presence of BuLi in THF to give the .alpha.,.alpha.-bis(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (5%), followed by reflux in HCl and THF overnight, produced18 II.bul.2HCl (quant.). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

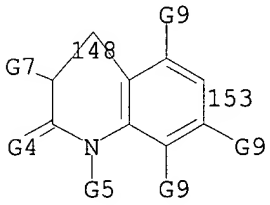
**MSTR 1**



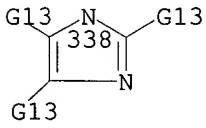

G1 = 14




G2 = (1-2) CH2
G3 = 148-11 153-145




G4 = O
G12 = 338




G27 = furyl (SO (1-) G34)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or N-oxides
NTE: also incorporates claim 8
NTE: substitution is restricted
STE: or stereochemically isomeric forms

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

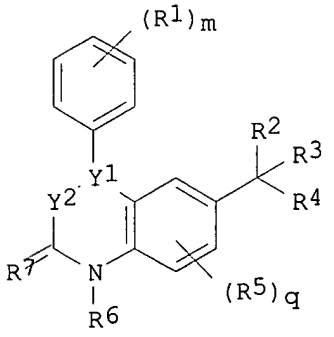
L5 ANSWER 10 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 136:279471 MARPAT
TITLE: Preparation of 6-heterocyclylmethyl quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002024686 | A2 | 20020328 | WO 2001-EP10894 | 20010918 |
| WO 2002024686 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002020559 | A5 | 20020402 | AU 2002-20559 | 20010918 |
| EP 1322650 | A2 | 20030702 | EP 2001-985254 | 20010918 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: EP 2000-203368 20000925
EP 2001-202190 20010607
WO 2001-EP10894 20010918

GI

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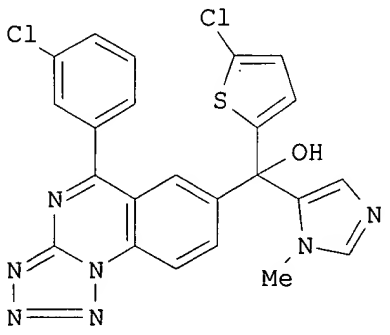
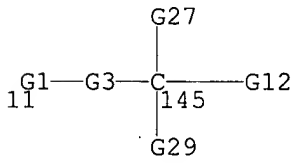



II

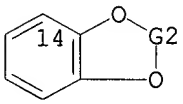
AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy,

heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, 2,2,2-trichloro-N-[2-(3-chlorobenzoyl)-4-[(5-chloro-2-thienyl)carbonyl]phenyl]acetamide (5-step prepn. given) was cyclized with ammonium acetate in DMSO to give 4-(3-chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-quinazolinone (83.8%). Chlorination (88.4%), followed by addn. of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF, afforded the .alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinazolinemethanol. Cycloaddn. with NaN3 in DMF gave the tetrazolo[1,5-a]quinazoline-7-methanol II (66%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

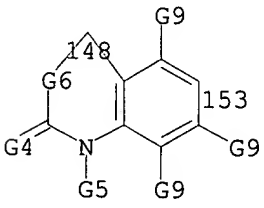
**MSTR 1**



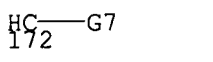

G1 = 14



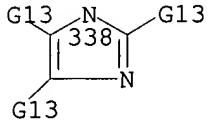

G2 = (1-2) CH2
G3 = 148-11 153-145




G4 = O
G6 = 172



G12 = 338



G27 = thienyl (SO (1-) G34)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or N-oxides
NTE: also incorporates claim 8
NTE: substitution is restricted
STE: or stereochemically isomeric forms

L5 ANSWER 11 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:279470 MARPAT
TITLE: Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Ashis Kumar; Mevellec, Laurence Anne
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002024683 | A1 | 20020328 | WO 2001-EP10895 | 20010918 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001093829 | A5 | 20020402 | AU 2001-93829 | 20010918 |
| EP 1322636 | A1 | 20030702 | EP 2001-974276 | 20010918 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: EP 2000-203366 20000925
WO 2001-EP10895 20010918

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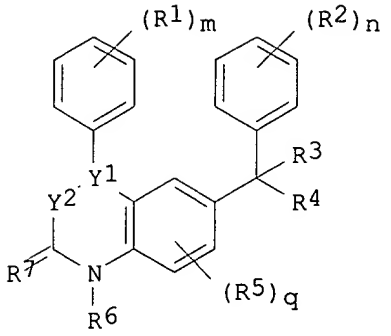


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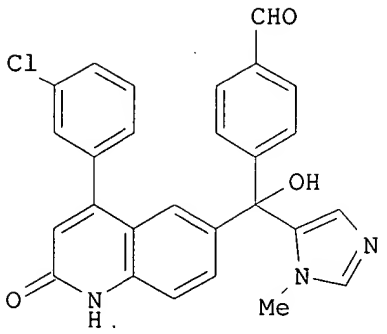
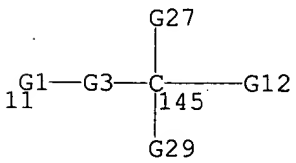


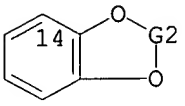

II

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step prepn. given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addn. of 1-methyl-1H-imidazole in the presence of BULi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)-.alpha.-[4-(diethoxymethyl)phenyl]-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temp. for 1 h to afford the quinolinone II.bul.HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

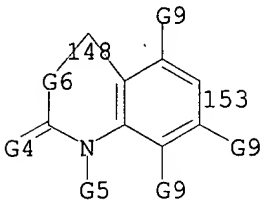
**MSTR 1**



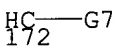

G1 = 14



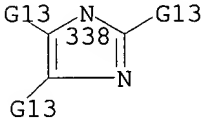

G2 = (1-2) CH2
G3 = 148-11 153-145




G4 = O
G6 = 172




G12 = 338




G27 = Ph (SO (1-) G28)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or N-oxides
NTE: also incorporates claim 8
NTE: substitution is restricted
STE: or stereochemically isomeric forms

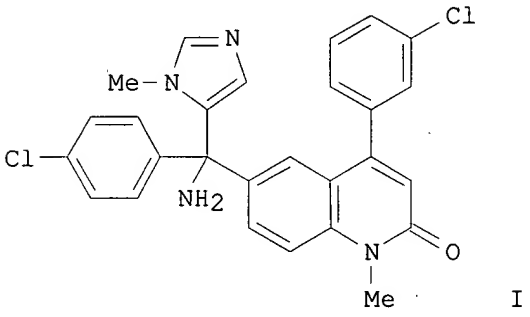
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221275 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with an HER2 antibody
INVENTOR(S): Horak, Ivan David; Bowden, Christopher J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064246 | A2 | 20010907 | WO 2001-EP2163 | 20010226 |

WO 2001064246 A3 20020221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1267929 A2 20030102 EP 2001-927707 20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003525252 T2 20030826 JP 2001-563143 20010226
US 2003022918 A1 20030130 US 2002-220217 20020828
PRIORITY APPLN. INFO.: EP 2000-200692 20000229
WO 2001-EP2163 20010226

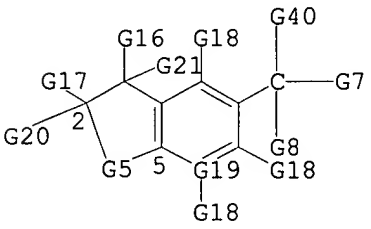
GI



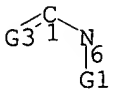

I

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an HER2 antibody for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I.

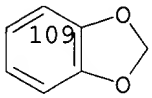
**MSTR 1**



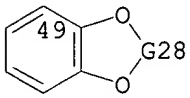

G3 = O
G5 = 1-2 6-5



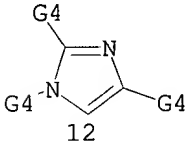
G7 = 109



G16 = 49



G19 = C
G28 = (1-2) CH2
G40 = 12



MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 13 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221274 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations as anticancer agents
INVENTOR(S): Rybak, Mary Ellen Margaret
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064218 | A2 | 20010907 | WO 2001-EP2169 | 20010226 |
| WO 2001064218 | A3 | 20020321 | | |

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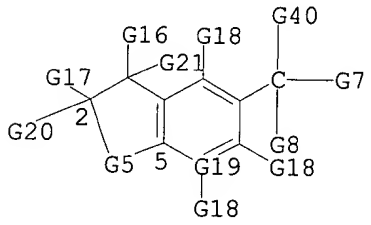
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

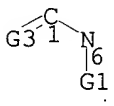
| | | | | |
|---|---|---|---|---|
| EP 1261342 | A2 | 20021204 | EP 2001-925358 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525245 | T2 | 20030826 | JP 2001-563115 | 20010226 |
| US 2003125326 | A1 | 20030703 | US 2002-220218 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200693 | 20000229 |
| | | | WO 2001-EP2169 | 20010226 |

AB The present invention is concerned with combinations of two or more farnesyl transferase inhibitors (Markush structures given) for inhibiting the growth of tumor cells and useful in the treatment of cancer (no data).

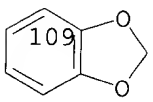
**MSTR 1**



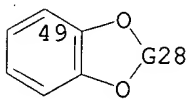

G3 = O
G5 = 1-2 6-5



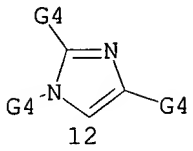

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




12

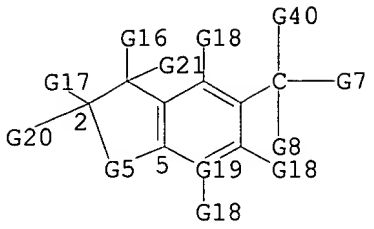
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 14 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221273 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with anti-tumor alkylating agents
INVENTOR(S): Rybak, Mary Ellen Margaret
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

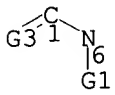
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064217 | A2 | 20010907 | WO 2001-EP2168 | 20010226 |
| WO 2001064217 | A3 | 20020328 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1261348 | A2 | 20021204 | EP 2001-907564 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525244 | T2 | 20030826 | JP 2001-563114 | 20010226 |
| US 2003078281 | A1 | 20030424 | US 2002-220220 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200691 | 20000229 |
| | | | WO 2001-EP2168 | 20010226 |

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an anti-tumor alkylating agent (Markush structures given) for inhibiting the growth of tumor cells and useful in the treatment of cancer (no data).

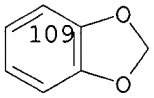
**MSTR 1**



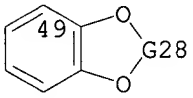

G3 = O
G5 = 1-2 6-5



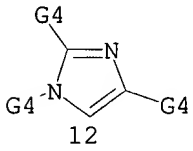

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 15 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221271 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with antitumor podophyllotoxin derivatives
INVENTOR(S): Rybak, Mary Ellen Margaret
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064198 | A2 | 20010907 | WO 2001-EP2167 | 20010226 |
| WO 2001064198 | A3 | 20020321 | | |
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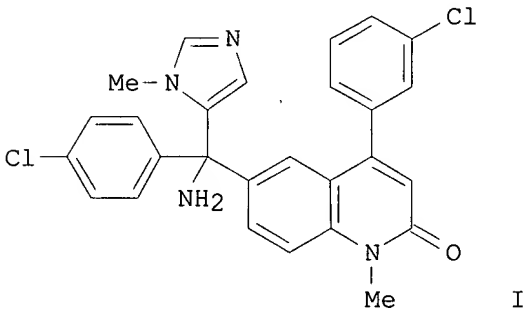
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1267871 | A2 | 20030102 | EP 2001-913838 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525238 | T2 | 20030826 | JP 2001-563095 | 20010226 |
| US 2003050323 | A1 | 20030313 | US 2002-220216 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200695 | 20000229 |
| | | | WO 2001-EP2167 | 20010226 |

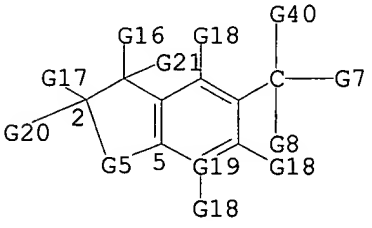
GI



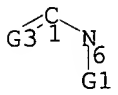

I

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antitumor podophyllotoxin deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and podophyllotoxin deriv. is etoposide.

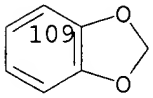
**MSTR 1**



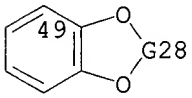
G3 = O
G5 = 1-2 6-5



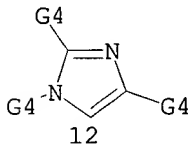

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 16 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221270 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with Vinca alkaloids
INVENTOR(S): Horak, Ivan David; Bowden, Christopher J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064196 | A2 | 20010907 | WO 2001-EP2165 | 20010226 |
| WO 2001064196 | A3 | 20020321 | | |

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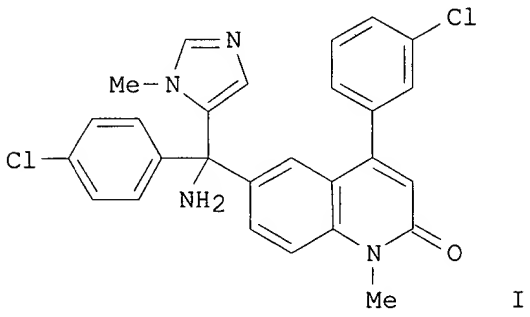
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| EP 1263437 | A2 | 20021211 | EP 2001-915297 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525236 | T2 | 20030826 | JP 2001-563093 | 20010226 |
| US 2003060480 | A1 | 20030327 | US 2002-220398 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200698 | 20000229 |
| | | | WO 2001-EP2165 | 20010226 |

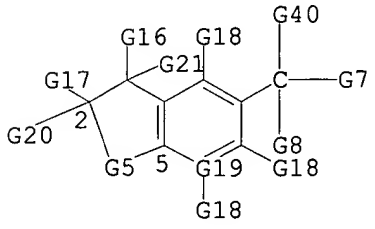
GI



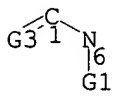

I

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and a Vinca alkaloid for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and alkaloid is vinblastine.

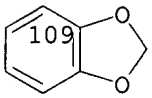
**MSTR 1**



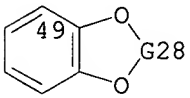

G3 = O
G5 = 1-2 6-5



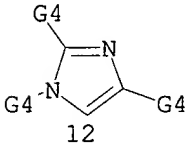

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 17 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221269 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with antitumor nucleoside derivatives
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064195 | A2 | 20010907 | WO 2001-EP2164 | 20010226 |
| WO 2001064195 | A3 | 20020321 | | |

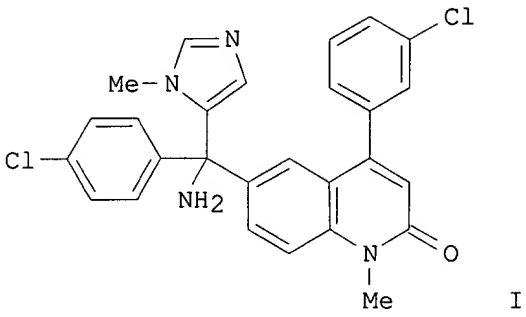
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| EP 1261343 | A2 | 20021204 | EP 2001-929363 | 20010226 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003525235 T2 20030826 JP 2001-563092 20010226
PRIORITY APPLN. INFO.: EP 2000-200697 20000229
WO 2001-EP2164 20010226

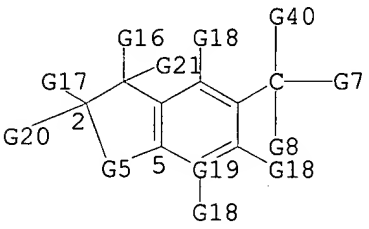
GI



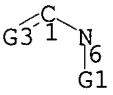

I

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antitumor nucleoside deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and nucleoside deriv. is 5-fluorouracil.

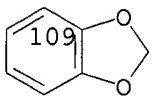
**MSTR 1**



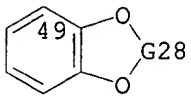

G3 = O
G5 = 1-2 6-5



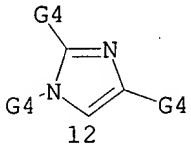

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12

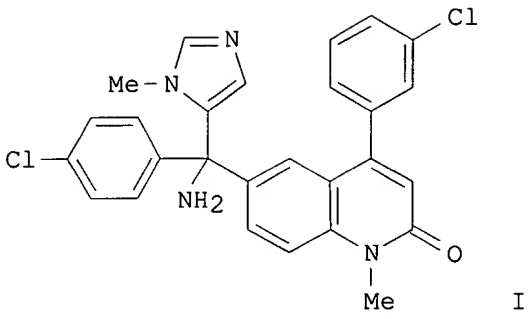



MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 18 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:221268 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with camptothecin compounds
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064194 | A2 | 20010907 | WO 2001-EP2161 | 20010226 |
| WO 2001064194 | A3 | 20020307 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1261341 | A2 | 20021204 | EP 2001-911702 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525234 | T2 | 20030826 | JP 2001-563091 | 20010226 |
| US 2003100553 | A1 | 20030529 | US 2002-220399 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200688 | 20000229 |
| | | | WO 2001-EP2161 | 20010226 |

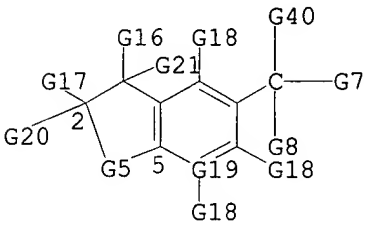
GI



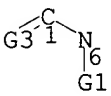

I

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and a camptothecin compd. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and example camptothecin compd. is topotecan.

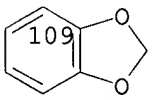
**MSTR 1**



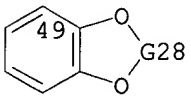

G3 = O
G5 = 1-2 6-5



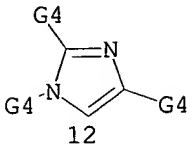

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




12

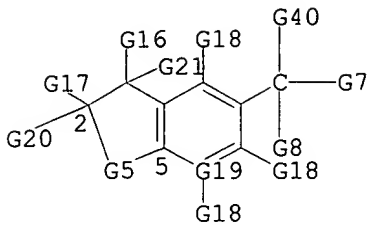
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 19 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:216007 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations of (imidazol-5-yl)methyl-2-quinolinones with anticancer agents
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

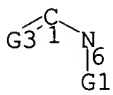
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064252 | A2 | 20010907 | WO 2001-EP2162 | 20010226 |
| WO 2001064252 | A3 | 20020321 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1261374 | A2 | 20021204 | EP 2001-917032 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525255 | T2 | 20030826 | JP 2001-563149 | 20010226 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200694 | 20000229 |
| | | | WO 2001-EP2162 | 20010226 |

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and 2 or more anticancer agents for inhibiting the growth of tumor cells and useful in the treatment of cancer. The anticancer agents can be selected from, e.g., taxanes, vinca alkaloids, podophyllotoxins.

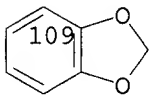
**MSTR 1**



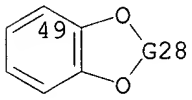

G3 = O
G5 = 1-2 6-5



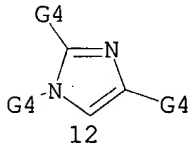

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

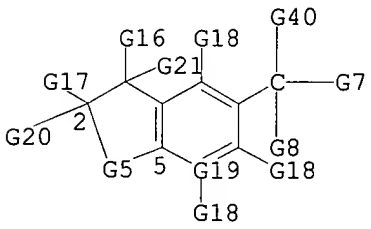
L5 ANSWER 20 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:216005 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations with platinum compounds as anticancer agents
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

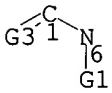
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064226 | A2 | 20010907 | WO 2001-EP2160 | 20010226 |
| WO 2001064226 | A3 | 20020307 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1261356 | A2 | 20021204 | EP 2001-919347 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525246 | T2 | 20030826 | JP 2001-563123 | 20010226 |
| US 2003027808 | A1 | 20030206 | US 2002-220397 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200690 | 20000229 |
| | | | WO 2001-EP2160 | 20010226 |

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and a platinum compd. for inhibiting the growth of tumor cells and useful in the treatment of cancer.

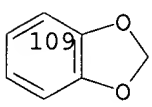
**MSTR 1**



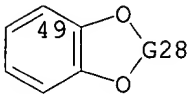

G3 = O
G5 = 1-2 6-5



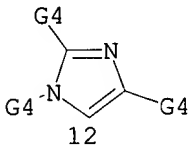

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

L5 ANSWER 21 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:216000 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations of (imidazol-5-yl)methyl-2-quinolinones with taxanes as anticancer agents
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064199 | A2 | 20010907 | WO 2001-EP2170 | 20010226 |
| WO 2001064199 | A3 | 20011227 | | |
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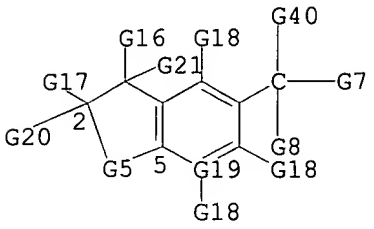
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1265611 | A2 | 20021218 | EP 2001-919348 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525239 | T2 | 20030826 | JP 2001-563096 | 20010226 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200689 | 20000229 |
| | | | WO 2001-EP2170 | 20010226 |

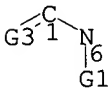
AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and a taxane for inhibiting the growth of tumor cells and useful in the

treatment of cancer. The farnesyl transferase inhibitor is advantageously administered at 0.0001-100 mg/kg and the taxane at 50-400 mg.

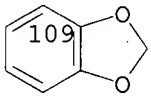
**MSTR 1**



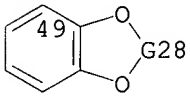

G3 = O
G5 = 1-2 6-5



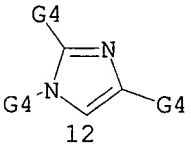

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

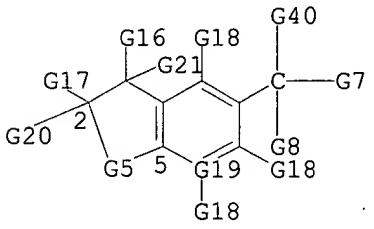
L5 ANSWER 22 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:215999 MARPAT
TITLE: Farnesyl protein transferase inhibitor combinations

with antitumor anthracycline derivatives
INVENTOR(S): Rybak, Mary Ellen Margaret
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

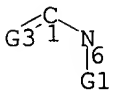
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064197 | A2 | 20010907 | WO 2001-EP2166 | 20010226 |
| WO 2001064197 | A3 | 20020321 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1267872 | A2 | 20030102 | EP 2001-917033 | 20010226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003525237 | T2 | 20030826 | JP 2001-563094 | 20010226 |
| US 2003125268 | A1 | 20030703 | US 2002-220222 | 20020828 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200696 | 20000229 |
| | | | WO 2001-EP2166 | 20010226 |

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, (imidazol-5-yl)methyl-2-quinolinones, and an anthracycline deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. The farnesyl transferase inhibitor is advantageously administered at 0.0001-100 mg/kg and the taxane at 10-75 mg.

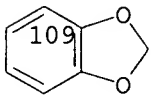
**MSTR 1**



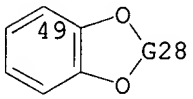

G3 = O
G5 = 1-2 6-5



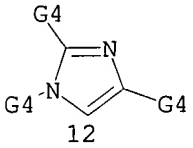

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereochemically isomeric forms

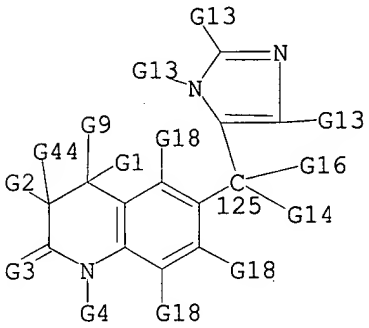
L5 ANSWER 23 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:205526 MARPAT
TITLE: Treatment of mammalian tumors with farnesyl protein transferase inhibitors and dosing regimen
INVENTOR(S): End, David William
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001062234 | A2 | 20010830 | WO 2001-EP1937 | 20010220 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1267848 | A1 | 20030102 | EP 2001-903785 | 20010220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003523381 | T2 | 20030805 | JP 2001-561301 | 20010220 |

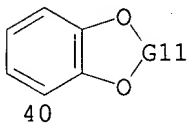
US 2003060450 A1 20030327 US 2002-220162 20020823
PRIORITY APPLN. INFO.: US 2000-184551P 20000224
WO 2001-EP1937 20010220

AB The present invention relates to a method of treating mammalian tumors which comprises administering a single dose of a farnesyl protein transferase (FPT) inhibitor over a one to five day period. The invention also relates to an antitumor dosage regimen in which suppression of tumor growth is achieved by the administration of an FPT inhibitor over a one to five day period followed by at least two weeks without treatment. The transient one to five day exposure of mammalian tumors to an FPT inhibitor produces sustained antitumor effects. The inhibition of FPT by a FPT inhibitor under the method and regimen of the present invention produces lasting alterations in the malignant process which recover only very slowly.

**MSTR 1**




G3 = O
G9 = 40




G11 = (1-2) CH2
G14 = Ph (SO (-2) G15)
MPL: claim 7
NTE: and pharmaceutically acceptable acid or base addition salts
STE: steroisomers

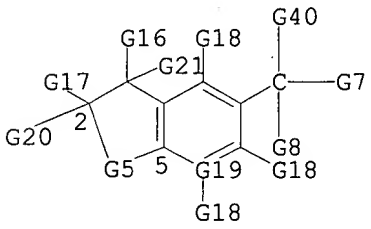
L5 ANSWER 24 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:147414 MARPAT
TITLE: Farnesyl protein transferase inhibitors for treating breast cancer
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

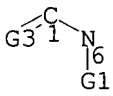
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001056552 | A2 | 20010809 | WO 2001-EP1032 | 20010201 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1255537 | A2 | 20021113 | EP 2001-905717 | 20010201 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003521509 | T2 | 20030715 | JP 2001-556244 | 20010201 |
| US 2003027839 | A1 | 20030206 | US 2002-203083 | 20020802 |
| PRIORITY APPLN. INFO.: | | | EP 2000-200373 | 20000204 |
| | | | WO 2001-EP1032 | 20010201 |

AB The invention relates to the use of farnesyl protein transferase inhibitors for prepg. pharmaceutical compns. for treating advanced breast cancer.

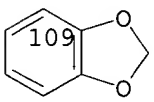
**MSTR 1**



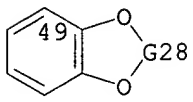

G3 = O
G5 = 1-2 6-5



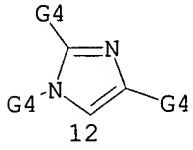

G7 = 109




G16 = 49




G19 = C
G28 = (1-2) CH2
G40 = 12




MPL: claim 2
NTE: and pharmaceutically acceptable acid or base addition salts
NTE: substitution is restricted
STE: and stereoisomeric forms

L5 ANSWER 25 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 133:74022 MARPAT
TITLE: Preparation of 1,2-annelated quinoline derivatives as farnesyl transferase and geranylgeranyl transferase inhibitors for use as antitumor agents.
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Bourdrez, Xavier Marc
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000039082 | A2 | 20000706 | WO 1999-EP10214 | 19991217 |
| WO 2000039082 | A3 | 20001026 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2355717 | AA | 20000706 | CA 1999-2355717 | 19991217 |
| EP 1140935 | A2 | 20011010 | EP 1999-969220 | 19991217 |
| EP 1140935 | B1 | 20030514 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9916827 | A | 20011016 | BR 1999-16827 | 19991217 |
| JP 2002533435 | T2 | 20021008 | JP 2000-590995 | 19991217 |
| EE 200100318 | A | 20021015 | EE 2001-318 | 19991217 |

| | | | | |
|---|---|---|---|---|
| AT 240327 | E | 20030515 | AT 1999-969220 | 19991217 |
| HR 2001000454 | A1 | 20020630 | HR 2001-454 | 20010615 |
| BG 105631 | A | 20020228 | BG 2001-105631 | 20010620 |
| NO 2001003088 | A | 20010621 | NO 2001-3088 | 20010621 |
| US 6458800 | B1 | 20021001 | US 2001-868992 | 20010829 |
| US 2003119843 | A1 | 20030626 | US 2002-179444 | 20020624 |
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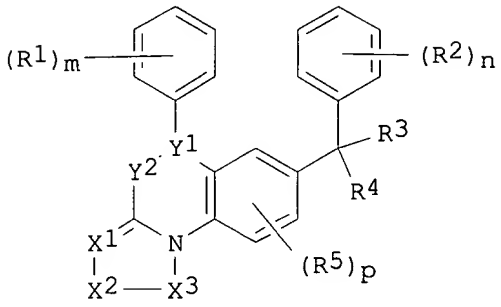
| | | | WO 1999-EP10214 | 19991217 |
| | | | US 2001-868992 | 20010829 |

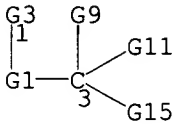
GI



I

AB This invention concerns the prepn., compns. contg. and use as a medicine of compds. (I), the pharmaceutically acceptable acid addn. salts and the stereochem. isomeric forms thereof, having farnesyl transferase and geranylgeranyl transferase inhibiting activity, wherein =X1-X2-X3- is a trivalent radical; >Y1-Y2- is a trivalent radical; m and n are each independently 0, 1, 2, 3, 4 or 5; p is 0, 1, 2 or 3. Each R1 and R2 are independently hydroxy, halo, cyano, C1-6alkyl, trihalomethyl, trihalomethoxy, C2-6alkenyl, C1-6alkyloxy, hydroxyC1-6alkyloxy, C1-6alkylthio, C1-6alkyloxyC1-6alkyloxy, C1-6alkyloxycarbonyl, aminoC1-6alkyloxy, mono- or di(C1-6alkyl)amino, mono- or di(C1-6alkyl)aminoC1-6alkyloxy, aryl, arylC1-6alkyl, aryloxy or arylC1-6alkyloxy, hydroxycarbonyl, C1-6alkyloxycarbonyl; or two R1 or R2 on adjacent positions form together a bivalent radical. R3 is hydrogen, halo, C1-6alkyl, cyano, haloC1-6alkyl, hydroxyC1-6alkyl, cyanoC1-6alkyl, aminoC1-6alkyl, C1-6alkyloxyC1-6alkyl, C1-6alkylthio-C1-6alkyl, aminocarbonylC1-6alkyl, hydroxycarbonyl, hydroxycarbonylC1-6alkyl, C1-6alkyloxycarbonylC1-6alkyl, C1-6alkylcarbonylC1-6alkyl, C1-6alkyloxycarbonyl, aryl, arylC1-6alkyloxyC1-6alkyl, mono- or di(C1-6alkyl)aminoC1-6alkyl, or a radical of formula -O-R10, -S-R10 or -NR11R12, aryl is an optionally substituted Ph or naphthalenyl. R4 is an optionally substituted imidazolyl. Thus, (.+-.)-7-[(4-fluorophenyl)(1H-imidazol-1-yl)methyl]-5-phenylimidazo[1,2-a]quinoline ethanedioate (2:3) was prepd. in three steps from (.+-.)-6-[(4-fluorophenyl)(1H-imidazol-1-yl)methyl]-4-phenyl-2(1H)-quinoline in 99%, 83% and 30% yields for the three steps of the prepn.

**MSTR 5**

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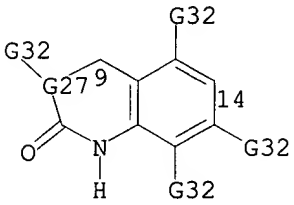


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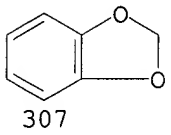
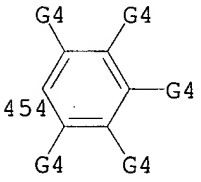



G9 = 454

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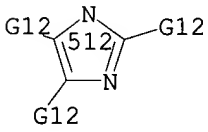



G27 = CH
MPL: claim 9
NTE: also incorporates claims 12

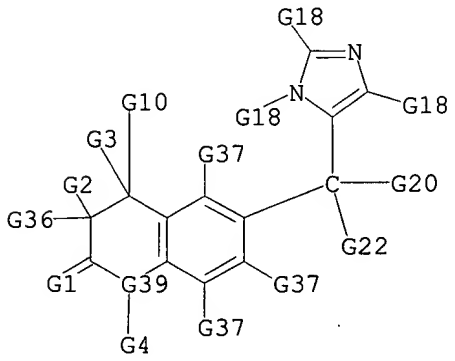
L5 ANSWER 26 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 132:90156 MARPAT
TITLE: Farnesyl protein transferase inhibitors with in vivo radiosensitizing properties, and use in treating cancer
INVENTOR(S): Van Ginckel, Robert Franciscus; Floren, Wim Joanna; End, David William; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000001411 | A1 | 20000113 | WO 1999-EP4545 | 19990630 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2336624 | AA | 20000113 | CA 1999-2336624 | 19990630 |
| AU 9947805 | A1 | 20000124 | AU 1999-47805 | 19990630 |
| AU 762423 | B2 | 20030626 | | |
| BR 9911861 | A | 20010320 | BR 1999-11861 | 19990630 |
| EP 1094839 | A1 | 20010502 | EP 1999-931229 | 19990630 |
| EP 1094839 | B1 | 20030502 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EE 200000794 | A | 20020617 | EE 2000-794 | 19990630 |
| JP 2002519389 | T2 | 20020702 | JP 2000-557857 | 19990630 |
| AT 238811 | E | 20030515 | AT 1999-931229 | 19990630 |
| HR 2000000903 | A1 | 20011231 | HR 2000-903 | 20001228 |
| BG 105108 | A | 20011130 | BG 2001-105108 | 20010103 |
| US 6545020 | B1 | 20030408 | US 2001-743130 | 20010103 |
| NO 2001000082 | A | 20010105 | NO 2001-82 | 20010105 |
| PRIORITY APPLN. INFO.: | | | EP 1998-202257 | 19980706 |
| | | | EP 1998-204330 | 19981218 |
| | | | WO 1999-EP4545 | 19990630 |

AB Farnesyl protein transferase inhibitors have radiosensitizing properties which makes them useful for prepg. a pharmaceutical compn. for administration before, during or after irradn. of a tumor for treating cancer in vivo .

**MSTR 1**



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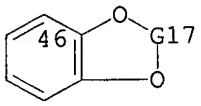
G10 = 46




G17 = (1-2) CH2
G20 = Ph (SO (1-2) G21)
G39 = N
DER: or pharmaceutically acceptable acid or base addition salts
MPL: claim 2
STE: or stereoisomers

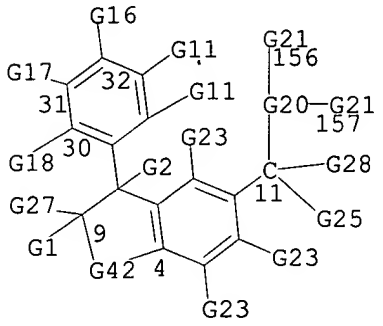
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 132:88171 MARPAT
TITLE: Farnesyl protein transferase inhibitors for treating arthropathies
INVENTOR(S): End, David William; Cools, Marina Lucie Louise; Van Wauwe, Jean Pierre Frans
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

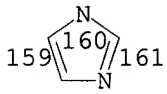
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000001386 | A1 | 20000113 | WO 1999-EP4546 | 19990630 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2337800 | AA | 20000113 | CA 1999-2337800 | 19990630 |
| AU 9947806 | A1 | 20000124 | AU 1999-47806 | 19990630 |
| AU 762470 | B2 | 20030626 | | |
| BR 9911869 | A | 20010327 | BR 1999-11869 | 19990630 |
| EP 1094815 | A1 | 20010502 | EP 1999-931230 | 19990630 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EE 200000770 | A | 20020415 | EE 2000-770 | 19990630 |
| JP 2002519379 | T2 | 20020702 | JP 2000-557832 | 19990630 |
| HR 2000000904 | A1 | 20011231 | HR 2000-904 | 20001228 |
| BG 105110 | A | 20011130 | BG 2001-105110 | 20010103 |
| US 6451812 | B1 | 20020917 | US 2001-743077 | 20010103 |
| NO 2001000053 | A | 20010302 | NO 2001-53 | 20010104 |
| PRIORITY APPLN. INFO.: | | | EP 1998-202258 | 19980706 |
| | | | WO 1999-EP4546 | 19990630 |

AB Farnesyl protein transferase inhibitors are useful for prepg. a pharmaceutical compn. for treating arthropathies, e.g. rheumatoid arthritis, osteoarthritis, juvenile arthritis, and gout.

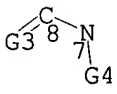
**MSTR 1**



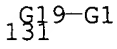

G3 = O
G10 = (1-2) CH2
G19 = O
G20 = 159-11 160-156 161-157




G25 = Ph (SO (1-2) G26)
G42 = 8-9 7-4




G16+G17= 131-32 135-31




DER: or pharmaceutically acceptable acid or base addition salts
MPL: claim 2
NTE: substitution is restricted
STE: and stereoisomeric forms

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 130:296955 MARPAT
TITLE: Preparation of erythromycin A, 11,12-carbamate derivatives as antibacterial agents
INVENTOR(S): Asaka, Toshifumi; Kashimura, Masato; Matsuura, Akiko; Sugimoto, Tomohiro; Tanikawa, Tetsuya; Ishii, Takaaki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9921869 | A1 | 19990506 | WO 1998-JP4876 | 19981028 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| ZA 9809835 | A | 19990505 | ZA 1998-9835 | 19981028 |
| AU 9896495 | A1 | 19990517 | AU 1998-96495 | 19981028 |
| PRIORITY APPLN. INFO.: | | | JP 1997-296822 | 19971029 |
| | | | WO 1998-JP4876 | 19981028 |

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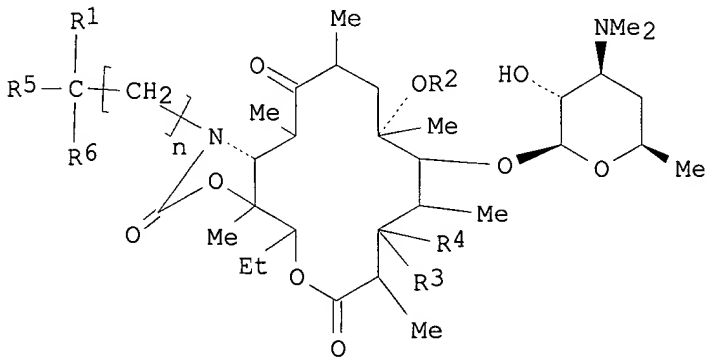
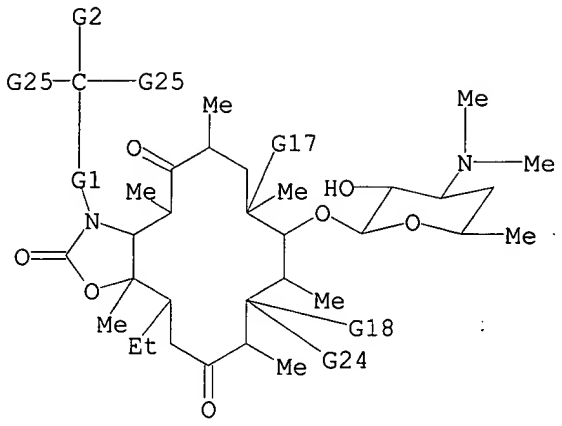


I

AB Erythromycin A I wherein n is an integer of 1 to 7, R1 is sulfonamide, R2 is a hydrogen atom, an alkyl group or a cinnamyl group, R3 is ester, R4 is a hydrogen atom, or R3 and R4 together form an oxo group, and R5 and R6 are each a hydrogen atom or an alkyl group, or a pharmaceutically acceptable salt thereof has a strong antibacterial activity against not only known erythromycin-sensitive bacteria but also erythromycin-resistant bacteria. Thus, 11-[2-(4-Nitrophenyl)sulfonylaminoethyl]-amino-11-deoxy-3-O-(2-pyridyl)acetyl-5-O-desosaminyl-6-O-methylerythronolide A 11,12-cyclic carbamate was prepd. and tested for its antibacterial activity (MICs = 0.025-1.56 .mu.g/mL).

**MSTR 1B**




G1 = (1-7) CH2
G2 = quinolinyl (SO (1-2) G15)
G15 = OH / pyridyl
G25 = cyclohexyl
DER: or pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 130:52420 MARPAT
TITLE: (Imidazol-5-yl)methyl-2-quinolinone derivatives as inhibitors of smooth muscle cell proliferation
INVENTOR(S): End, David William; Zelesko, Michael J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9855124 | A1 | 19981210 | WO 1998-EP3182 | 19980525 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9880207 | A1 | 19981221 | AU 1998-80207 | 19980525 |
| AU 740603 | B2 | 20011108 | | |
| EP 988038 | A1 | 20000329 | EP 1998-928332 | 19980525 |
| EP 988038 | B1 | 20020814 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| BR 9810423 | A | 20001003 | BR 1998-10423 | 19980525 |
| JP 2002503235 | T2 | 20020129 | JP 1999-501440 | 19980525 |
| NZ 501401 | A | 20020328 | NZ 1998-501401 | 19980525 |

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| AT 222104 | E | 20020815 | AT 1998-928332 | 19980525 |
| ES 2182327 | T3 | 20030301 | ES 1998-928332 | 19980525 |
| ZA 9804700 | A | 19991201 | ZA 1998-4700 | 19980601 |
| US 6365600 | B1 | 20020402 | US 1999-445009 | 19991130 |
| NO 9905883 | A | 20000202 | NO 1999-5883 | 19991201 |
| US 2002091138 | A1 | 20020711 | US 2001-996147 | 20011128 |
| PRIORITY APPLN. INFO.: | | | US 1997-47376P | 19970602 |
| | | | WO 1998-EP3182 | 19980525 |
| | | | US 1999-445009 | 19991130 |

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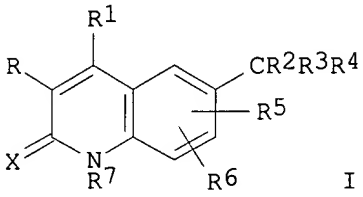


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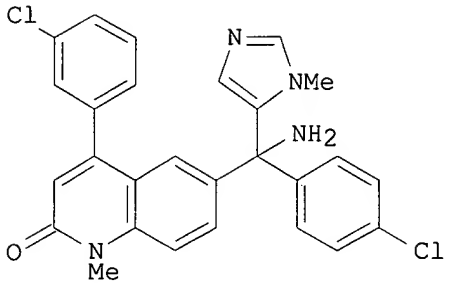
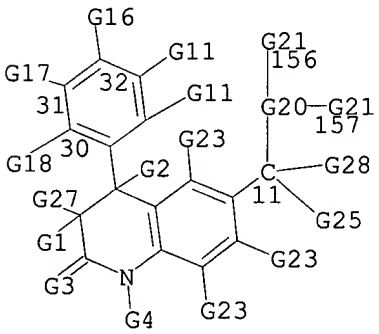


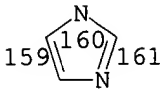

II

AB Title compds. I and their 3,4-dihydro derivs. [X = O, S; R = H, halogen, CN, alkyl, alkoxycarbonyl, (un)substituted Ph; R1, R2 = (un)substituted Ph; R3 = (un)substituted 4-imidazolyl; R4 = H, (un)substituted alkyl, CN, (un)substituted CO2H, imidazolyl, (un)substituted OH, SH, NH2; R5 = H, alkyl, alkoxy, halogen; R6 = H, alkyl; R7 = H, alkyl, aryl, aralkyl, quinolinylalkyl] were prepd. for use in inhibiting smooth muscle cell proliferation, e.g., in atherosclerosis or restenosis. Thus, the title compd. II was prepd. from 1-(N,N-dimethylsulfamoyl)imidazole and the chlorobenzoylquinolinone in 5 steps. II had IC50 for inhibition of cell proliferation: A10 14, PASCM 24, CASCM 16 nM.

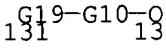
**MSTR 1**




G3 = O
G10 = (1-2) CH2
G19 = O
G20 = 159-11 160-156 161-157



G25 = Ph (SO (1-2) G26)
G16+G17= 131-32 135-31



DER: or pharmaceutically acceptable acid or base addition salts
MPL: claim 1
NTE: substitution is restricted
STE: and stereoisomeric forms

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:95280 MARPAT
TITLE: Preparation of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents
INVENTOR(S): Venet, Marc Gaston; Angibaud, Patrick Rene; Muller, Philippe; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9721701 | A1 | 19970619 | WO 1996-EP4515 | 19961016 |
| W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, NO, NZ, PL, RO, SK, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM | | | | |
| AU 9672948 | A1 | 19970703 | AU 1996-72948 | 19961016 |
| AU 711142 | B2 | 19991007 | | |
| EP 865440 | A1 | 19980923 | EP 1996-934727 | 19961016 |
| EP 865440 | B1 | 20020403 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 10511405 | T2 | 19981104 | JP 1996-521638 | 19961016 |
| CN 1203598 | A | 19981230 | CN 1996-198750 | 19961016 |
| CN 1101392 | B | 20030212 | | |
| BR 9610745 | A | 19990713 | BR 1996-10745 | 19961016 |
| IL 123568 | A1 | 20010808 | IL 1996-123568 | 19961016 |
| EE 3484 | B1 | 20010815 | EE 1998-146 | 19961016 |
| EP 1162201 | A2 | 20011212 | EP 2001-202750 | 19961016 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 3257559 | B2 | 20020218 | JP 1997-521638 | 19961016 |
| AT 215541 | E | 20020415 | AT 1996-934727 | 19961016 |
| PL 184171 | B1 | 20020930 | PL 1996-325962 | 19961016 |

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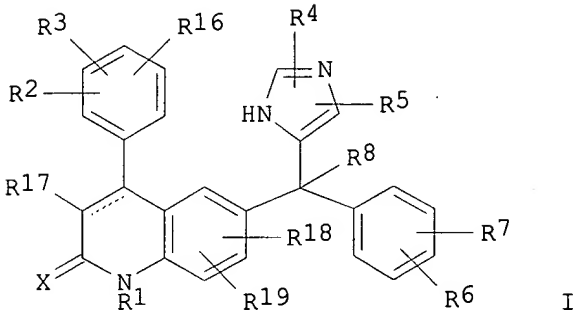
|---|---|---|---|---|
| AP 1108 | A | 20021002 | AP 1998-1257 | 19961016 |
| W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| ES 2175137 | T3 | 20021116 | ES 1996-934727 | 19961016 |
| TW 494101 | B | 20020711 | TW 1996-85114832 | 19961130 |
| ZA 9610254 | A | 19980605 | ZA 1996-10254 | 19961205 |
| HR 960576 | B1 | 20020430 | HR 1996-960576 | 19961205 |
| NO 9800927 | A | 19980608 | NO 1998-927 | 19980304 |
| US 6037350 | A | 20000314 | US 1998-84717 | 19980526 |
| HK 1012188 | A1 | 20020726 | HK 1998-113364 | 19981215 |
| US 6169096 | B1 | 20010102 | US 1999-363353 | 19990729 |
| US 6420387 | B1 | 20020716 | US 2000-689211 | 20001012 |
| PRIORITY APPLN. INFO.: | | | EP 1995-203427 | 19951208 |
| | | | EP 1996-934727 | 19961016 |
| | | | WO 1996-EP4515 | 19961016 |
| | | | US 1997-84717 | 19970526 |
| | | | US 1999-363353 | 19990729 |

GI

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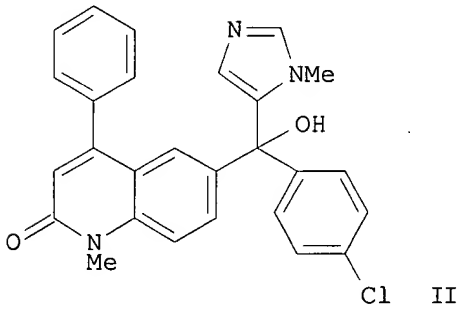
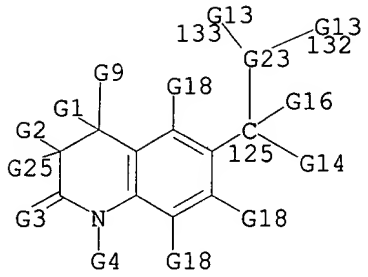


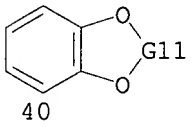

II

AB The title compds. [I; the dotted line represents an optional bond; X = O, S; R1 = H, (un)substituted alkyl, (un)substituted aryl, heterocyclylalkyl, etc.; R2, R3, R16 = H, hydroxy, halogen, cyano, alkyl, alkyloxy, hydroxyalkyloxy, etc.; R4, R5 = H, halogen, (un)substituted aryl, (un)substituted alkyl, NH2, etc.; R6, R7 = H, halogen, cyano, alkyl, 4,4-dimethyloxazolyl, etc.; R8 = H, alkyl, cyano, hydroxycarbonyl, alkyloxycarbonyl, etc.; R17 = H, halogen, cyano, alkyl, alkyloxycarbonyl, (un)substituted aryl; R18 = H, alkyl, alkyloxy, halogen; R19 = H, alkyl; etc.], which have farnesyl transferase-inhibiting activity, useful for the treatment of cancers, are prepd. and I-contg. formulations presented. Thus, imidazole deriv. II (m.p. >250.degree.) was prepd. and demonstrated a IC50 against human farnesyl protein transferase of 6.0 nM.

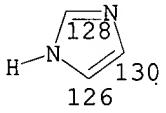
**MSTR 1**




G3 = O
G9 = 40




G11 = (1-2) CH2
G14 = Ph (SO (-2) G15)
G23 = 126-125 128-133 130-132




DER: and pharmaceutically acceptable acid or base addition salts
MPL: claim 1
NTE: also incorporates claim 14
STE: and steroisomers

L5 ANSWER 31 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:34143 MARPAT
TITLE: Farnesyl transferase inhibiting 2-quinolone derivatives
INVENTOR(S): End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| WO 9716443 | | A1 | 19970509 | WO 1996-EP4661 | 19961025 |
| | W: | AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| AU 9674933 | | A1 | 19970522 | AU 1996-74933 | 19961025 |
| AU 712435 | | B2 | 19991104 | | |
| CN 1200732 | | A | 19981202 | CN 1996-197917 | 19961025 |
| CN 1101391 | | B | 20030212 | | |
| JP 11514635 | | T2 | 19991214 | JP 1996-517051 | 19961025 |
| EP 1019395 | | A1 | 20000719 | EP 1996-937249 | 19961025 |
| EP 1019395 | | B1 | 20020130 | | |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | |
| EP 1106610 | | A1 | 20010613 | EP 2001-200450 | 19961025 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | |
| AT 212627 | | E | 20020215 | AT 1996-937249 | 19961025 |
| ES 2171736 | | T3 | 20020916 | ES 1996-937249 | 19961025 |
| PL 184168 | | B1 | 20020930 | PL 1996-328230 | 19961025 |
| SK 282642 | | B6 | 20021008 | SK 1998-556 | 19961025 |
| CZ 290954 | | B6 | 20021113 | CZ 1998-1272 | 19961025 |
| ZA 9609087 | | A | 19980429 | ZA 1996-9087 | 19961029 |
| NO 9800928 | | A | 19980429 | NO 1998-928 | 19980304 |
| US 5968952 | | A | 19991019 | US 1998-66441 | 19980429 |
| HK 1027576 | | A1 | 20020524 | HK 2000-106863 | 20001027 |
| PRIORITY APPLN. INFO.: | | | | EP 1995-202945 | 19951031 |
| | | | | EP 1996-937249 | 19961025 |
| | | | | WO 1996-EP4661 | 19961025 |

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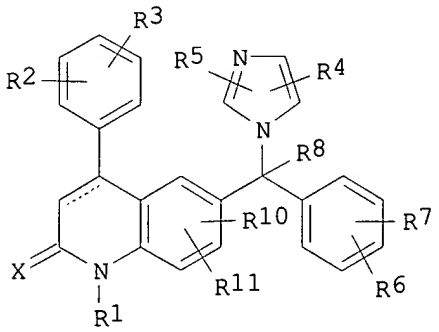


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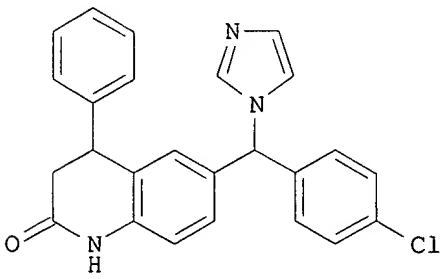
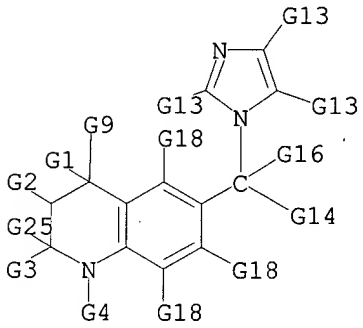


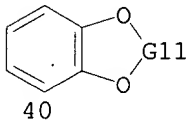

II

AB The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

**MSTR 1**




G3 = alkoxy
G9 = 40




G11 = (1-2) CH2
G14 = Ph (SO (-2) G15)
DER: and pharmaceutically acceptable acid or base addition salts
MPL: claim 1
NTE: also incorporates claim 12, structure XXVI
STE: steroisomers

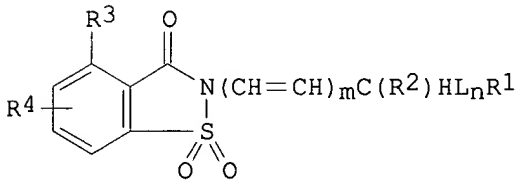
L5 ANSWER 32 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 120:191707 MARPAT
TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors
INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: Eur. Pat. Appl., 77 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 542372 | A1 | 19930519 | EP 1992-203469 | 19921112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5236917 | A | 19930817 | US 1991-793033 | 19911115 |
| AU 9225340 | A1 | 19930520 | AU 1992-25340 | 19920925 |
| AU 654581 | B2 | 19941110 | | |
| CA 2079822 | AA | 19930516 | CA 1992-2079822 | 19921005 |
| NO 9204401 | A | 19930518 | NO 1992-4401 | 19921113 |
| HU 66873 | A2 | 19950130 | HU 1992-3566 | 19921113 |
| IL 103748 | A1 | 19970218 | IL 1992-103748 | 19921113 |
| RU 2101281 | C1 | 19980110 | RU 1992-4381 | 19921113 |
| JP 05194444 | A2 | 19930803 | JP 1992-305295 | 19921116 |
| US 5371074 | A | 19941206 | US 1993-67637 | 19930524 |
| US 5650422 | A | 19970722 | US 1994-270964 | 19940705 |
| US 5596012 | A | 19970121 | US 1995-449152 | 19950524 |
| US 5874432 | A | 19990223 | US 1997-803297 | 19970220 |

PRIORITY APPLN. INFO.:
US 1991-793033 19911115
US 1989-347125 19890504
US 1989-347126 19890504
US 1990-514920 19900426
US 1993-67637 19930524
US 1994-270964 19940705

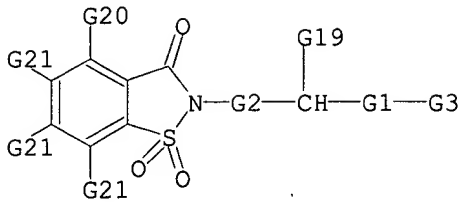
GI



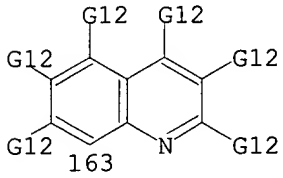
I

AB The title compds. I [L = O, S, SO, SO2; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxycarbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxycarbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO2, NH2, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-R4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO2 then R2 is lower alkoxycarbonyl and R3 = R4 = H while R1 .noteq. substituted Ph], useful for the treatment of degenerative diseases (no data), are prepd. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition const. for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for .alpha.-chymotrypsin.

**MSTR 1A**




G3 = 163




G12 = alkyl<(1-10)> (SR piperidino) / furyl / alkoxy<(1-10)>
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 33 OF 33 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 119:138890 MARPAT
TITLE: Preparation of diethylenetriamine derivatives and their use for diagnostic and therapeutic purposes
INVENTOR(S): Mikhail, Gamal
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 540975 | A1 | 19930512 | EP 1992-118289 | 19921026 |
| EP 540975 | B1 | 19960110 | | |
| R: CH, DE, FR, GB, LI, SE | | | | |
| DE 4136489 | A1 | 19930513 | DE 1991-4136489 | 19911106 |
| CA 2082023 | AA | 19930507 | CA 1992-2082023 | 19921103 |
| JP 05221942 | A2 | 19930831 | JP 1992-317924 | 19921104 |

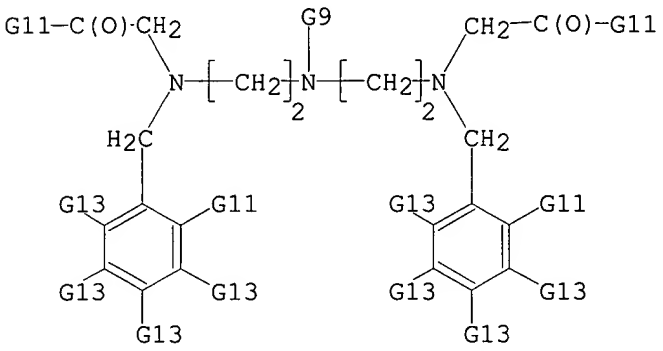
PRIORITY APPLN. INFO.: DE 1991-4136489 19911106
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

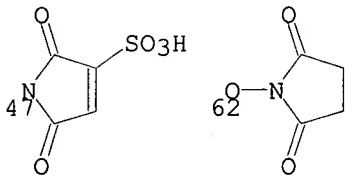
AB Title compds. [I; X = (heteroatom group-contg.) arylene, alkylene; Y = NHCOCMe:CH2, Q1, Q2, Q3, NH2, OH, halomethylcarbonyl, halo, NCO, NCS, CHO, CO2H, SH, halocarbonyl, N3CO, imidazolylcarbonyl, etc.; X1, X2 = H,

(substituted) alkyl, aryl; R = H, ammonium, alkali metal, alk. earth metal; R1 = alkyl, Cl, Br; n = 1-4], were prepd. Thus, phthalic anhydride was heated with diethylenetriamine in CHCl3 to give 61% 1,7-diphthaloyldiethylenetriamine. This was refluxed with KOH and 4-O2NC6H2CH2Br to give 80% 4-(p-nitrobenzyl)-1,7-diphthaloyldiethylenetriamine. This was refluxed with 6N HCl to give 67% 4-(p-nitrobenzyl)diethylenetriamine, which was stirred with salicylaldehyde in EtOH to give 58% bis-Schiff base, which was converted to title compd. II in several steps. II showed a stability complex with Eu of infinity (no free Eu detectable).

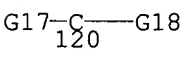
**MSTR 1C**




G5 = oxiranyl / 47 / 62




G10 = quinolinyl (SR (1) G5)
G16 = 120




G17 = Ph
G18 = alkyl<(1-10)> (SO (1-) G5)
MPL: claim 1

=> d his

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L2 0 S L1 SAM
L3 26 S L1 FULL

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L4             4 S L3

     FILE 'MARPAT' ENTERED AT 15:50:28 ON 10 SEP 2003
L5            33 S L1 FULL

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